DUE TO FILE SIZE AND SCORE LIMITATIONS, SEARCH REQUEST FORM IS SUBMITTED TO SCORE SEPARATELY

### INVENTOR SEARCH

=> d ibib abs hitstr 16 1

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:934322 HCAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 141:400911

TITLE: Particle-forming compositions containing fused

pyrrolocarbazoles

INVENTOR(S): Dickason, Dave; McIntyre, Bradley T.

; Patel, Piyush R.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 698,901.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA:	TENT	NO.			KIN		DATE				LICAT					ATE	
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	6200						2001	0313			1999-					9990	805
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	J, SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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										US	2003-	7180	77		A 2	0031	120

1

WO 2004-US37928 W 20041112

OTHER SOURCE(S): MARPAT 141:400911

- A non-aqueous, particle-forming, fused pyrrolocarbazole-containing composition is disclosed. Upon contact with an aqueous medium, the particle-forming composition spontaneously disperses into suspended particles, thereby forming a stable suspension that provides greatly improved bioavailability of orally administered fused pyrrolocarbazole compds. Formulations were prepared containing I, PEG, and Poloxamer 188.
- TT 9002-96-4, TPGS 9004-99-3, Myri 52 25322-68-3, Peg 106392-12-5, Poloxamer 188 222414-51-9, Polyethylene glycol hydroxystearate RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(particle-forming compns. containing fused pyrrolocarbazoles)

- RN 9002-96-4 HCAPLUS
- CN Poly(oxy-1,2-ethanediyl),  $\alpha = [4-[[(2R)-3,4-dihydro-2,5,7,8$ tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-1,4-dioxobutvll-@-hvdroxv- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RM 9004-99-3 HCAPLUS

CN Poly(oxy-1,2-ethanediy1), α-(1-oxooctadecy1)-ω-hydroxy- (CA INDEX NAME)

$$\texttt{Me-(CH2)_{16}-C} \underbrace{\qquad \qquad}_{\texttt{C-CH2-CH2-Jn}} \texttt{OH}$$

- RN 25322-68-3 HCAPLUS
- CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (CA INDEX NAME)

- RN 106392-12-5 HCAPLUS
- CN Oxirane, 2-methyl-, polymer with oxirane, block (CA INDEX NAME)
  - CM
  - CRN 75-56-9
  - CMF C3 H6 O



- CM 2
- CRN 75-21-8
- CMF C2 H4 O



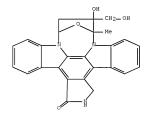
- RN 222414-51-9 HCAPLUS
- CN Poly(oxy-1,2-ethanediy1),  $\alpha$ -(hydroxy-1-oxooctadecy1)- $\omega$ -hydroxy-(CA INDEX NAME)

IT 263554-49-0 329684-15-3

RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

- (particle-forming compns. containing fused pyrrolocarbazoles)
- RN 263554-49-0 HCAPLUS
- CN 9,12-Epoxy-IH-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-15-3 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)



IT 258342-87-9 329684-08-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (particle-forming compns. containing fused pyrrolocarbazoles)

- RN 258342-87-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxvlic acid,

 $\label{eq:condition} 5,16-\texttt{bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)}$ 

- RN 329684-08-4 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl- (9CI) (CA INDEX NAME)

### DISPLAY OF REQUESTED COMPOUND

# => d 110

L10 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 329684-15-3 REGISTRY

ED Entered STN: 02 Apr 2001

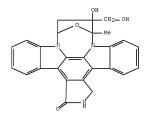
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i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)

MF C26 H21 N3 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL



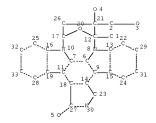
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ED Entered STN: 02 Apr 2001

RESULTS FROM REGISTRY, CAPLUS, AND USPATFULL



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 33

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STEREO ATTRIBUTES: NONE
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L9 699 SEA FILE=REGISTRY SSS FUL L7 L11 660 SEA FILE=HCAPLUS ABB=ON L9

L12 1 SEA FILE=REGISTRY ABB=ON "POLYOXYL STEARATE"/CN
L13 1 SEA FILE=REGISTRY ABB=ON "POLYETHYLENE GLYCOL"/CN

L14 12 SEA FILE=HCAPLUS ABB=ON L11 AND (L12 OR ?POLYOXYL?(W)?STEARATE

? OR L13 OR ?POLYETHYLENE?(W)?GLYCOL?)
L15 5 SEA FILE=HCAPLUS ABB=ON L14 AND (PRD<19990508 OR PD<19990508)

L16 24 SEA FILE=USPATFULL ABB=ON L14 AND (PRD<19990508 OR PD<19990508

L17 27 DUP REMOV L15 L16 (2 DUPLICATES REMOVED)

=> d ibib abs hitstr 117 1-27

INVENTOR(S):

L17 ANSWER 1 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2007:231812 USPATFULL Full-text

TITLE: Detection and modulation of IAPs and NAIP for the

diagnosis and treatment of proliferative disease

Korneluk, Robert G., Ottawa, CANADA

MacKenzie, Alexander E., Ottawa, CANADA Liston, Peter, Ottawa, CANADA

Baird, Stephen, Ottawa, CANADA

Tsang, Benjamin K., Nepean, CANADA

Pratt, Christine, Nepean, CANADA

NUMBER KIND DATE

PATENT INFORMATION: US 20070203088 A1 20070830 APPLICATION INFO.: US 2006-509784 A1 20060825 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2006-385739, filed on 22

Mar 2006, PENDING Continuation of Ser. No. US

2001-974592, filed on 9 Oct 2001, GRANTED, Pat. No. US 7087584 Continuation of Ser. No. US 2000-617053, filed

on 14 Jul 2000, GRANTED, Pat. No. US 6300492

Continuation of Ser. No. US 1997-800929, filed on 13

Feb 1997, GRANTED, Pat. No. US 6133437

NUMBER DATE

PRIORITY INFORMATION: US 1996-30590P 19961114 (60) <--

US 1996-17354P 19960426 (60) <--DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PHILIP SWAIN, PHD, C/O GOWLING LAFLEUR HENDERSON, 1

PLACE VILLE MARIE,, 37TH FLOOR, MONTREAL, QC, H3B 3P4,

CA NUMBER OF CLAIMS: 8

EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 33 Drawing Page(s)

LINE COUNT: 2973

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ΔR Disclosed are diagnostic and prognostic kits for the detection and treatment of proliferative diseases such as ovarian cancer, breast cancer, and

lymphoma. Also disclosed are cancer therapeutics utilizing IAP antisense nucleic acids, IAP fragments, and antibodies which specifically bind IAP polypeptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9, K252a

(NAIP (neuronal apoptotic inhibitory protein) and IAP polypeptides for neuronal disease treatment and prevention, and drug screening methods)

RN 99533-80-9 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L17 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:934322 HCAPLUS Full-text

DOCUMENT NUMBER: 141:400911 TITLE: Particle-forming compositions containing fused

pyrrolocarbazoles

INVENTOR(S): Dickason, Dave; McIntyre, Bradley T.; Patel, Pivush R. PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 698,901.

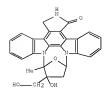
CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3 PATENT INFORMATION:

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		HR,	IS,	YU														
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										WO 2	004-	us37	928		W 2	0041	112	
ER S	DURCE	(S):			MARI	PAT	141:	4009	11									

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- AB A non-aqueous, particle-forming, fused pyrrolocarbazole-containing composition is disclosed. Upon contact with an aqueous medium, the particle-forming composition spontaneously disperses into suspended particles, thereby forming a stable suspension that provides greatly improved bioavailability of orally administered fused pyrrolocarbazole compds. Formulations were prepared containing I, PBG, and Poloxamer 188.
- IT 9004-99-3, Myrj 52 25322-68-3, Peg
  RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL
  (Biological study); USES (Uses)
  (particle-forming compns. containing fused pyrrolocarbazoles)

(particle-forming compns. containin RN 9004-99-3 HCAPLUS

I

CN Poly(oxy-1,2-ethanediy1),  $\alpha$ -(1-oxooctadecy1)- $\omega$ -hydroxy- (CA INDEX NAME)

- RN 25322-68-3 HCAPLUS
- CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -hydro- $\omega$ -hydroxy- (CA INDEX NAME)

- IT 263554-49-0 329684-15-3
  - RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (particle-forming compns. containing fused pyrrolocarbazoles)
- RN 263554-49-0 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fq:3',2',1'-k1]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 329684-15-3 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)

IT 258342-87-9 329684-08-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (particle-forming compns. containing fused pyrrolocarbazoles)

RN 258342-87-9 HCAPLUS

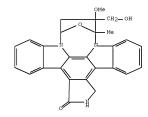
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i][1,6]benzodiazocine-10-carboxylic acid,

5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

329684-08-4 HCAPLUS RN

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10methoxy-9-methyl- (9CI) (CA INDEX NAME)



L17 ANSWER 3 OF 27 USPATFULL on STN

ACCESSION NUMBER:

2004:165980 USPATFULL Full-text

TITLE:

Methods and compositions for the prevention or treatment of neoplasia comprising a Cox-2 inhibitor in combination with an epidermal growth factor receptor

DATE

antagonist

INVENTOR(S): PATENT ASSIGNEE(S): Masferrer, Jaime, Ballwin, MO, UNITED STATES Pharmacia Corporation, St. Louis, MO, UNITED STATES

(U.S. corporation) NUMBER

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

KIND US 20040127470 A1 20040701 US 2003-651916 20030829 (10) A1 Continuation-in-part of Ser. No. US 1999-470951, filed

on 22 Dec 1999, ABANDONED

### NUMBER DATE

PRIORITY INFORMATION: US 1998-113786P 19981223 (60) <--

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Charles E. Dunlap, Nelson Mullins Riley & Scarborough,

LLP, P.O. Box 11070, Columbia, SC, 29211-1070

NUMBER OF CLAIMS: 34 EXEMPLARY CLAIM: 1

LINE COUNT: 8937

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel method of preventing and/or treating neoplasia disorders in a subject that is in need of such prevention or treatment by administering to the subject at least one Cox-2 inhibitor in combination with an EGF receptor antagonist. Compositions, pharmaceutical

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 156177-59-3, CEP-751 183488-70-2, CEP-2563

compositions and kits are also described.

(as EGFR antagonist; COX-2 inhibitor in combination with epidermal growth factor receptor antagonist for prevention or treatment of neoplasia)

RN 156177-59-2 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodlazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)10-methoxy-9-methyl-, (95,105,128) (CA INDEX NAME)

Absolute stereochemistry.

RN 183488-70-2 USPATFULL

CN β-Alanine, L-lysyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-lH-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, hydrochloride (1:2) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

■2 HC1

L17 ANSWER 4 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2003:86849 USPATFULL Full-text

TITLE: Cellular proteins as targets for the treatment of pathogens resistant to drugs that target

pathogen-encoded proteins

INVENTOR(S): Schaffer, Priscilla A., Boston, MA, UNITED STATES

INVENTOR(S):	Schang, Luis M., Edmonton, CANADA							
	NUMBER KIND DATE							
PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:	US 20030060457 A1 20030327 US 2000-905695 A1 20001206 (9) Continuation-in-part of Ser. No. US 2000-951058, filed on 12 Sep 2000, PENDING Continuation-in-part of Ser. No. US 2000-656592, filed on 7 Sep 2000, PENDING Continuation of Ser. No. WO 1999-US16252, filed on 16 Jul 1999, PENDING							

DATE

PRIORITY INFORMATION:	US 1998-94805P 19980731 (60) <	
	US 1999-131264P 19990427 (60) <	
	US 1999-140926P 19990624 (60)	
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MORGAN, LEWIS & BOCKIUS LLP, 1701 MARKET STREET,	
	PHILADELPHIA, PA, 19103-2921	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	38 Drawing Page(s)	
LINE COUNT:	3979	
CAS INDEXING IS AVAILA	BLE FOR THIS PATENT.	

NUMBER

The invention relates to the identification of cdk inhibitors as inhibitors AB of gene expression, replication and reactivation in pathogenic agents. Compositions and assays for the identification and use of such inhibitors are provided, as are methods of use of the inhibitors

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9, K252a

(cellular proteins as targets for treatment of pathogens resistant to drugs targeting pathogen-encoded proteins, and use of cdk inhibitors)

RN 99533-80-9 USPATFULL

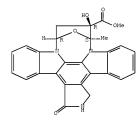
9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-CN

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester,

(9S, 10R, 12R) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L17 ANSWER 5 OF 27 USPATFULL on STN

TITLE:

ACCESSION NUMBER:

INVENTOR(S):

2003:17947 USPATFULL Full-text Agent for treating ophthalmopathy Nakata, Katsuhiko, Sakurai-shi, JAPAN

Kageyama, Masaaki, Osaka, JAPAN

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

KIND US 20030013701 A1 20030116 US 2002-228645 A1 20020826 (10)

Continuation of Ser. No. US 2001-807293, filed on 11 Jun 2001, GRANTED, Pat. No. US 6451787 A 371 of International Ser. No. WO 1999-JP5605, filed on 12 Oct

DATE

1999, UNKNOWN

NUMBER

NUMBER DATE JP 1998-290194 19981013

PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:

Utility APPLICATION

WOODCOCK WASHBURN LLP, ONE LIBERTY PLACE, 46TH FLOOR, 1650 MARKET STREET, PHILADELPHIA, PA. 19103

NUMBER OF CLAIMS:

15

<--

EXEMPLARY CLAIM: 1

LINE COUNT: 349

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Use of the compound represented by general formula (I) for remedies of and protection against eye diseases is disclosed. General formula (I): ##STR1##

(wherein, R.sup.1 and R.sup.2 independently represent a lower alkyl group, R.sup.3 and R.sup.4 independently represent a hydrogen atom or a lower alkyl group)

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 156177-65-0 263554-49-0
- (remedy for ocular diseases)
- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-
    - 1-oxo-, methyl ester, (9S, 10R, 12R)- (CA INDEX NAME)

- 263554-49-0 USPATFULL RN
- 9,12-Epoxy-1H-diindolo[1,2,3-fq:3',2',1'-k1]pyrrolo[3,4-CN
  - i][1,6]benzodiazocine-10-carboxvlic acid,
- 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-
  - 1-oxo-, methyl ester (9CI) (CA INDEX NAME)

L17 ANSWER 6 OF 27 USPATFULL on STN

2003:321517 USPATFULL Full-text ACCESSION NUMBER:

TITLE: Particle-forming compositions containing fused

pyrrolocarbazoles

INVENTOR(S): Dickason, David A., Cincinnati, OH, United States Patel, Piyush R., Wallingford, PA, United States Corvari, Vincent, Nashua, NH, United States

Shek, Efraim, Wynnewood, PA, United States Herman, Joseph L., West Chester, PA, United States Skell, Jeffry M., Rahway, NJ, United States

Cephalon, Inc., West Chester, PA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE PATENT INFORMATION: US 6660729 B1 20031209 APPLICATION INFO.: US 2000-698901 20001027 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-368409, filed on 5 Aug

1999, now patented, Pat. No. US 6200968

NUMBER DATE PRIORITY INFORMATION: US 1998-95611P 19980806 (60) DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED PRIMARY EXAMINER: Criares, Theodore J. LEGAL REPRESENTATIVE: Hrubiec, Robert T., Voelk, Eric K. NUMBER OF CLAIMS: 39 EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1461

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

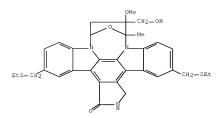
AB A non-aqueous, particle-forming, fused pyrrolocarbazole-containing composition is disclosed. Upon contact with an aqueous medium, the particleforming composition spontaneously disperses into suspended particles, thereby forming a stable suspension that provides greatly improved bioavailability of orally administered fused pyrrolocarbazole compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 258342-87-9 258342-88-0 258342-89-1

(particle-forming compns. containing fused pyrrolocarbazoles)

- RN 258342-87-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-
    - 1-oxo-, methyl ester (9CI) (CA INDEX NAME)
- Ets\_CH2 CH2—SEt
- RN 258342-88-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocin-1-one, 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)

- RN 258342-89-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fq:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocin-1-one, 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl- (9CI) (CA INDEX NAME)



IT 25322-68-3 25322-68-3D, Polyethylene glycol, ethers or fatty acid esters

(particle-forming compns. containing fused pyrrolocarbazoles)

RN 25322-68-3 USPATFULL

CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (CA INDEX NAME)

RN 25322-68-3 USPATFULL

CN Poly(oxy-1,2-ethanediy1), α-hydro-ω-hydroxy- (CA INDEX NAME)

L17 ANSWER 7 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2003:308310 USPATFULL Full-text

TITLE: Selected soluble esters of hydroxyl-containing

indolocarbazoles
INVENTOR(S): Mallamo, John P.

TOR(S): Mallamo, John P., Glenmore, PA, United States

Hudkins, Robert L., Chester Springs, PA, United States

PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S. corporation)

Kyowa Hakko Kogyo Co., Ltd., Tokyo, JAPAN (non-U.S.

DATE

KIND

corporation)

PATENT INFORMATION:	US 38332	E1 20031125		
	US 5686444	19971111	(Original)<	
APPLICATION INFO.:	US 2001-811725	20010319	(9)	
	US 1996-624707	19960326	(Original)	
RELATED APPLN. INFO.:	Continuation-in-par	ct of Ser. No.	US 1995-417611,	filed
	on 5 Apr 1995, now	patented, Pat.	No. US 5650407	

DOCUMENT TYPE: Reissue

FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Raymond, Richard L. LEGAL REPRESENTATIVE: Woodcock Washburn LLP

2111

NUMBER OF CLAIMS: 46

EXEMPLARY CLAIM: 36

NUMBER OF DRAWINGS: 15 Drawing Figure(s); 15 Drawing Page(s)

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Esters of hydroxyl-containing indolocarbazoles and acids containing selected solubilizing groups are provided. Compositions including the indolocarbazole esters and methods for the use of the indolocarbazole esters are

provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TT 156177-59-2

(preparation of)

RN 156177-59-2 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl-, (9S,10S,12R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 183488-52-0P

(prepn.of soluble esters of hydroxyl-containing indolocarbazoles as choline acetyltransferase inhibitors)

RN 183488-52-0 USPATFULL

CN 3-Pyridinecarboxylic acid, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

IT 183488-J6-2P 183488-48-4P 183488-50-3P 183488-51-1P 183488-51P 183488-54-2P 183488-56-6P 183488-66-0P 183488-62-2P 183488-64-4P 183488-67-7P 183488-70-2P

(prepn.of soluble esters of hydroxyl-containing indolocarbazoles as choline acetyltransferase inhibitors)

- RN 183488-46-2 USPATFULL
- CN L-Lysine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

●2 HC1

- RN 183488-48-4 USPATFULL
  - CN Glycine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1R-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-50-8 USPATFULL
- CN L-Alanine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA
  INDEX NAME)

Absolute stereochemistry.

- RN 183488-51-9 USPATFULL
- CN Butanedioic acid, mono[[(95,105,128)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl] ester (9C1) (CA INDEX NAME)

- RN 183488-53-1 USPATFULL
- CN Pyridinium, 3-[[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methoxy]carbonyl]-1-methyl-, iodide (9CI)
  (CA INDEX NAME)

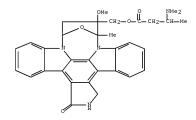
Absolute stereochemistry.

- RN 183488-54-2 USPATFULL
- CN Glycine, N,N-dimethyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-56-4 HSPATFHILL
- CN Butanoic acid, 3-(dimethylamino)-,

(2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-k]]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

- RN 183488-58-6 USPATFULL
- CN L-Histidine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1oxo-9,12-epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA
  INDEX NAME)

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●2 HC1

RN 183488-60-0 USPATFULL

CN

L-Arginine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

- RN 183488-62-2 USPATFULL
- CN β-Alanine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyll-oxo-9,12-epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-64-4 USPATFULL
- CN Alanine, 2-methyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-67-7 USPATFULL
- CN L-Glutamic acid, 1-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

- RN 183488-70-2 USPATFULL
- CN β-Alanine, L-lysyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, hydrochloride (1:2) (CA INDEX NAME)

● HC1

PAGE 2-A

■2 HC1

IT 183488-45-1P 183488-47-2P 183488-49-5P 183488-57-5P 183488-59-7P 183489-61-1P 183488-63-3P 183488-66-6F 183488-65-9P

(prepn.of soluble esters of hydroxyl-containing indolocarbazoles as choline acetyltransferase inhibitors)

RN 183488-45-1 USPATFULL

CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, [(98,108,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-47-3 USPATFULL
- CN Glycine, N={(1,1-dimethylethoxy)carbonyl]-,
   (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H diindolo(1,2,3-fg;3',2',1'-k]pyrrolo(3,4-i)[1,6]benzodiazocin-10 yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX
   NAME)

- RN 183488-49-5 HSPATFHILL
- CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10yl]methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-57-5 USPATFULL
- CN L-Histidine, N,1-bis[(1,1-dimethylethoxy)carbonyl](2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo[1,2,3-fg;3',2',1'-k:]pyrrolo[3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 183488-59-7 USPATFULL

CN

L-Ornithine, N2-[(1,1-dimethylethoxy)carbonyl]-N5-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy)-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, [9S-[9 $\alpha$ ,10 $\beta$ ,12 $\alpha$ )]- (9CI) (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry.}$ 

- RN 183488-61-1 HSPATFILL
- CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdindololl,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9α,10β,12α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-63-3 USPATFULL
- CN Alanine, N={(1,1-dimethylethoxy)carbonyl]-2-methyl-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo(1,2,3-fg;3',2',1'-kl)pyrrolo(3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

- RN 183488-66-6 USPATFULL
- CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 5-(1,1-dimethylethyl)  $1-[(2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl] ester, <math>[9S-(9\alpha,10\beta,12\alpha)]-(9CI)$  (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-69-9 USPATFULL
- CN β-Alanine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, [(95,105,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

- OBu-t

L17 ANSWER 8 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2002:239010 USPATFULL Full-text TITLE: Remedies for ocular diseases INVENTOR(S): Nakata, Katsuhiko, Sakurai, JAPAN

Kageyama, Masaaki, Neyagawa, JAPAN
PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

corporation)

Kyowa Hakko Kogyo Co., Ltd., JAPAN (non-U.S. corporation)

NUMBER	KIND	DATE	
US 6451787 WO 2000021531	B1	20020917 20000420	
US 2001-807293 WO 1999-JP5605		20010611 19991012	(9)
	US 6451787 WO 2000021531 US 2001-807293	US 6451787 B1 WO 2000021531 US 2001-807293	US 6451787 B1 20020917 WO 2000021531 20000420 US 2001-807293 20010611

20010611 PCT 371 date

	NUMBER DATE	
PRIORITY INFORMATION:	JP 1998-290194 19981013	<
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Kifle, Bruck	
LEGAL REPRESENTATIVE:	Woodcock Washburn LLP	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawi	ng Page(s)
LINE COUNT:	396	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Use of the compound represented by general formula (I) for remedies of and protection against eye diseases is disclosed. General formula (I): ##STR1##

(wherein, R.sup.1 and R.sup.2 independently represent a lower alkyl group, R.sup.3 and R.sup.4 independently represent a hydrogen atom or a lower alkyl group).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 156177-65-0 263554-49-0

(remedy for ocular diseases)

RN 156177-65-0 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-

1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

- RN 263554-49-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
- 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-
  - 1-oxo-, methyl ester (9CI) (CA INDEX NAME)

L17 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN 2001:178436 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 134:227381

TITLE: Particle-forming compositions containing fused

pyrrolocarbazoles

INVENTOR(S): Dickason, David A.; Patel, Piyush R.; Corvari,

Vincent; Shek, Efraim; Herman, Joseph L.; Skell,

Jeffry M.

PATENT ASSIGNEE(S): Cephalon, Inc., USA SOURCE: U.S., 18 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6200968	B1	20010313	US 1999-368409	19990805
CA 2338546	A1	20000217	CA 1999-2338546	19990806 <
EP 1102758	A1	20010530	EP 1999-940914	19990806 <
EP 1102758	B1	20071017		
R: AT, BE, CH,	DE, DK	, ES, FR, G	BB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI	, RO, CY		
JP 2003524597	T	20030819	JP 2000-563637	19990806 <
NZ 509596	A	20040730	NZ 1999-509596	19990806 <
AT 375986	T	20071115	AT 1999-940914	19990806 <
ES 2296401	T3	20080416	ES 1999-940914	19990806 <
US 6660729	B1	20031209	US 2000-698901	20001027 <
MX 2001PA01352	A	20020424	MX 2001-PA1352	20010206 <
HK 1037622	A1	20080606	HK 2001-108404	20011129 <
US 20040220176	A1	20041104	US 2003-718077	20031120 <
PRIORITY APPLN. INFO.:			US 1998-95611P	P 19980806 <
			US 1999-368409	A 19990805
			WO 1999-US17795	W 19990806
			US 2000-698901 .	A2 20001027
OWNER COMPANION	142 mm 2 m	404 000000		

OTHER SOURCE(S): MARPAT 134:227381

AB A non-aqueous, particle-forming composition containing fused pyrrolocarbazole and a surfactant is disclosed. Upon contact with an aqueous medium, the particle-forming composition spontaneously disperses into suspended particles, thereby forming a stable suspension that provides greatly improved

bioavailability of orally administered fused pyrrolocarbazole compds. Pyrrolocarbazoles-containing compns. are useful for treatment of neurol. disorders and cancer, especially prostate cancer, in mammals.

IT 118735-84-5 156177-72-9 156256-82-5 159404-60-1 173328-05-7 173328-06-8 173328-07-9 263554-49-9 329684-08-4 329684-13-3 329684-27-7 29684-30-5 329684-26-6 329684-27-7 29684-30-5 329684-31-3 329684-31-7 329684-33-3 329684-33-3 329684-33-4 329684-33-5 329684-38-9 329684-38-9 329684-38-9 329684-38-9 329684-39-1 329684-30-6 329684-38-9 32968

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (preparation and therapeutic use of particle-forming compns. containing

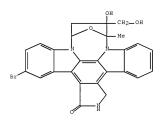
#### fused

pyrrolocarbazoles with improved bioavailability)

RN 118735-84-5 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)



RN 156177-72-9 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-82-5 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-, (95,105,12R)- (9CI) (CA INDEX NAME)

- RN 159404-60-1 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (CA INDEX NAME)

- RN 173328-05-7 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-[(ethylsulfinyl)methyl]-2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 173328-06-8 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo, methyl ester (9CI) (CA INDEX NAME)

- RN 173328-07-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-
    - [[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

- RN 263554-49-0 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-
- i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-08-4 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i[1,6]beraddiazociin-l-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10methoxy-9-methyl- (9C1) (CA INDEX NAME)

- RN 329684-15-3 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo(1,2,3-fg:3',2',1'-kl)pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)

RN 329684-25-5 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

329684-26-6 HCAPLUS

9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-CN

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(phenylthio)methyl]-

, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-27-7 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 5,16-dichloro-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-30-2 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-
- i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-
  - 9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-31-3 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-(dimethylamino)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester (9CI) (CA INDEX NAME)

- RN 329684-32-4 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 1)[1,6]Senzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-33-5 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-34-6 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-35-7 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one,5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)

- RN 329684-37-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester (9CI) (CA INDEX NAME)

- RN 329684-38-0 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-[(dimethylamino)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 329684-39-1 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-
- i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 329684-40-4 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,
16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-5-

(hydroxymethyl)-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

IT 25322-68-3, Polyethylene glycol

25322-68-3D, Polyethylene glycol, ethers and

esters

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation and therapeutic use of particle-forming compns. containing

fused

pyrrolocarbazoles with improved bioavailability)

RN 25322-68-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (CA INDEX NAME)

RN 25322-68-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (CA INDEX NAME)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2001:155765 USPATFULL Full-text

TITLE: Therapeutic and drug screening methods for the treatment and prevention of neuronal disease

INVENTOR(S): Robertson, George S., Ottawa, Canada Korneluk, Robert G., Ottawa, Canada MacKenzie, Alexander E., Ottawa, Canada

Xu, Daigen, Ottawa, Canada Crocker, Stephen J., Halifax, Canada

PATENT ASSIGNEE(S): University of Ottawa, Ottawa, Canada (non-U.S.

corporation)

	NUMBER		KIND	DATE
PATENT INFORMATION:	US	20010021699	A1	20010913
	US	6709866	B2	20040323
APPLICATION INFO.:	US	2001-735066	A1	20010220

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-844693, filed on 25

Apr 1997, GRANTED, Pat. No. US 6159948

		NUMBER	DATE		
PRIORITY	INFORMATION:	US 1996-30590P	19961114	(60)	<-
		US 1996-17354P	19960426	(60)	<-
DOCUMENT	TYPE:	Utility			

APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: Kristina Bieker-Brady, Ph.D., Clark & Elbing LLP, 176

Federal Street, Boston, MA, 02110 NUMBER OF CLAIMS: 33

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 34 Drawing Page(s)

LINE COUNT: 2352 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

NAIP and IAP polypeptides prevent neuronal cell death caused by ischemia, neurodegenerative conditions, and axotomy. The invention provides methods for neuroprotection by the prevention of cell death and kits and methods for the identification of neuroprotective therapeutic compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9, K252a

(NAIP (neuronal apoptotic inhibitory protein) and IAP polypeptides for neuronal disease treatment and prevention, and drug screening methods)

RN 99533-80-9 USPATFULL

9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L17 ANSWER 11 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2001:18464 USPATFULL Full-text

TITLE: Use of K-252a derivative

INVENTOR(S): Engber, Thomas M, Berwyn, PA, United States
Haun, Forrest A., Wyncote, PA, United States

Saporito, Michael S., Westmont, NJ, United States Aimone, Lisa D., Reading, PA, United States

Miller, Matthew S., Newtown, PA, United States Knight, Jr., Ernest, Hilton Head Island, SC, United

States

PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S. corporation)

US 1997-881679 199706: NUMBER DATE

PRIORITY INFORMATION: US 1996-20406P 19960625 (60) <-DOCUMENT TYPE: Utility

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Goldberg, Jerome D.

LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz Mackiewicz & Norris LLP

NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 5 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 1010

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are therapeutic methologies utilizing a ring substituted derivative of the indolocarbazole K-252a, the derivative represented by the

formula: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 156177-65-0

(K-252a derivative for treatment of peripheral or central nerve disorders and cytokine overprodn.)

RN 156177-65-0 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid, 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:117036 HCAPLUS Full-text

DOCUMENT NUMBER: 132:171117

TITLE: Particle-forming compositions containing fused

pyrrolocarbazoles

INVENTOR(S): Dickason, David A.; Patel, Piyush R.; Corvari,

Vincent; Shek, Efraim; Herman, Joseph L.; Skell, Jeffrv M.

PATENT ASSIGNEE(S): Cephalon, Inc., USA SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
						-									-		
WO	2000	0800	04		A1		2000	0217		WO 1	999-	US17	795		1	9990	806 <
	W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,
		IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,
		MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,
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		CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
CA	2338	546			A1		2000	0217		CA 1	999-	2338	546		1	9990	806 <
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ΑU	7568	65			B2		2003	0123									
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EΡ	1102	758			В1		2007	1017									
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IE, SI, LT,	LV,	FI, RO, CY			
JP 2003524597	T	20030819 Ј	P 2000-563637		19990806 <
NZ 509596	A	20040730 N	Z 1999-509596		19990806 <
MX 2001PA01352	A	20020424 M	X 2001-PA1352		20010206 <
HK 1037622	A1	20080606 H	K 2001-108404		20011129 <
PRIORITY APPLN. INFO.:		U	S 1998-95611P	P	19980806 <
		U	S 1999-368409	A	19990805
		W	0 1999-US17795	W	19990806

OTHER SOURCE(S): MARPAT 132:171117

AB A nonaq., particle-forming, fused pyrrolocarbazole-containing composition is disclosed. Upon contact with an aqueous medium, the particle-forming composition spontaneously disperses into suspended particles, thereby forming a stable suspension that provides greatly improved bioavailability of orally administered fused pyrrolocarbazole compds. Thus, a formulation contained an indolocarbazole 100 mg/mL, PEG 1450 70 and Polysorbate 80 30%. The bioavailability of the drugs was improved significantly by the particle-forming composition

IT 258342-87-9 258342-88-0 258342-89-1

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (particle-forming compns. containing fused pyrrolocarbazoles)

- RN 258342-87-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 258342-88-0 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 5,16-bis[(ethylthio]methyl]-2,3,9,10,11,12-bexhydro-10-hydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)

RN 258342-89-1 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl- (9CI) (CA INDEX NAME)

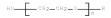
IT 25322-68-3 25322-68-3D, Polyethylene glycol, ethers or fatty acid esters RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (particle-forming compns. containing fused pyrrolocarbazoles)

RN 25322-68-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (CA INDEX NAME)

RN 25322-68-3 HCAPLUS

CN Poly(oxy-1,2-ethanediy1),  $\alpha$ -hydro- $\omega$ -hydroxy- (CA INDEX NAME)



THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 27 USPATFULL on STN

2000:167995 USPATFULL Full-text ACCESSION NUMBER:

TITLE: Therapeutic and drug screening methods for the

treatment and prevention of neuronal disease INVENTOR(S): Robertson, George S., Ottawa, Canada

Korneluk, Robert G., Ottawa, Canada MacKenzie, Alexander E., Ottawa, Canada

Xu, Daigen, Ottawa, Canada

Crocker, Stephen J., Halifax, Canada PATENT ASSIGNEE(S): University of Ottawa, Ottawa, Canada (non-U.S.

corporation)

NUMBER KIND DATE PATENT INFORMATION: US 6159948 20001212 US 1997-844693 19970425 (8) APPLICATION INFO.:

NUMBER DATE

PRIORITY INFORMATION: US 1996-30590P 19961114 (60) <--US 1996-17354P 19960426 (60) /--

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Chambers, Jasemine ASSISTANT EXAMINER: Chambers, Jasemine ASSISTANT EXAMINER: Baker, Anne-Marie

LEGAL REPRESENTATIVE: Clark & Elbing LLP, Bieker-Brady, Kristina

NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 34 Drawing Figure(s); 34 Drawing Page(s) 2445

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

NAIP and IAP polypeptides prevent neuronal cell death caused by ischemia, neurodegenerative conditions, and axotomy. The invention provides methods for neuroprotection by the prevention of cell death and kits and methods for the identification of neuroprotective therapeutic compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9, K252a

(NAIP (neuronal apoptotic inhibitory protein) and IAP polypeptides for neuronal disease treatment and prevention, and drug screening methods)

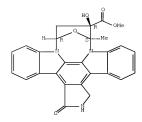
99533-80-9 USPATFULL RN

9,12-Epoxy-1H-diindolo[1,2,3-fq:3',2',1'-k1]pyrrolo[3,4-CN

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahvdro-10-hvdroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L17 ANSWER 14 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2000:109547 USPATFULL Full-text

TITLE: Detection and modulation of IAPS for the diagnosis and

treatment of proliferative disease
INVENTOR(S): Korneluk, Robert G., Ontario, Canada

MacKenzie, Alexander E., Ontario, Canada Liston, Peter, Ottawa, Canada Baird, Stephen, Ottawa, Canada

Tsang, Benjamin K., Nepean, Canada Pratt, Christine, Ontario, Canada

PATENT ASSIGNEE(S): Apoptogen, Inc., Ottawa, Canada (non-U.S. corporation)

APPLICATION INFO.: US 1998-212971 19981216 (9)
RELATED APPLN. INFO.: Division of Ser. No. US 1997-800929, filed on 13 Feb

1997

FILE SEGMENT: Granted
PRIMARY EXAMINER: Elliott, George C.
ASSISTANT EXAMINER: Epps, Janets

LEGAL REPRESENTATIVE: Clark & Elbing LLP, Bieker-Brady, Kristina

NUMBER OF CLAIMS: 27 EXEMPLARY CLAIM: 1

PATENT INFORMATION:

NUMBER OF DRAWINGS: 42 Drawing Figure(s); 33 Drawing Page(s)

LINE COUNT: 3255

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are diagnostic and prognostic kits for the detection and treatment of proliferative diseases such as ovarian cancer, breast cancer, and lymphoma. Also disclosed are cancer therapeutics utilizing IAP antisense nucleic acids IAP fragments, and antibodies which specifically bind IAP polypeptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9, K252a

DM

(NAIP (neuronal apoptotic inhibitory protein) and IAP polypeptides for neuronal disease treatment and prevention, and drug screening methods) 99533-80-9 USPATFULL

9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-CN

i][1,6]benzodiazocine-10-carboxylic acid,

2.3.9.10.11.12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L17 ANSWER 15 OF 27 USPATFULL on STN

ACCESSION NUMBER:

1998:57918 USPATFULL Full-text

TITLE:

Protein kinase inhibitors for treatment of neurological

disorders

Lewis, Michael E., West Chester, PA, United States INVENTOR(S):

Kauer, James C., Kennett Square, PA, United States Neff, Nicola, Wallingford, PA, United States

Roberts-Lewis, Jill, West Chester, PA, United States

Murakata, Chikara, Hachioji, Japan Saito, Hiromitsu, Mishima, Japan

Matsuda, Yuzuru, Koganei, Japan

Glicksman, Marcie A., Swarthmore, PA, United States

Kanai, Fumihiko, Machida, Japan Kaneko, Masami, Sagamihara, Japan

PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

corporation)

Kyowa Hakko Kogyo Co., Ltd., Japan (non-U.S.

corporation)

		NUMBER		DATE	
PATENT INFORMATION:	US 5	5756494		19980526	
APPLICATION INFO.:	US 1	1995-456642		19950602	

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-329540, filed on 26 Oct 1994 which is a continuation-in-part of Ser.

No. US 1993-96561, filed on 22 Jul 1993, now patented, Pat. No. US 5461146 which is a continuation-in-part of Ser. No. US 1992-920102, filed on 24 Jul 1992, now

abandoned Utility

DOCUMENT TYPE:

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FILE SEGMENT: Granted PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Sripada, Pavanaram K. LEGAL REPRESENTATIVE: Fish & Richardson, P.C. NUMBER OF CLAIMS: 43 EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 29 Drawing Figure(s); 22 Drawing Page(s) LINE COUNT: 3145 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention features novel derivatives of K-252a, as well as novel bis-Nsubstituted derivatives of staurosporine. The invention also features a method for treating diseased neuronal cells involving the administration of either the novel staurosporine derivatives or specified functional derivatives of K-252a CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 99533-80-9P, K 252a 111358-88-4P 118735-80-1P 118735-94-7P 121664-75-3P 121664-76-4P 121664-89-9P 121664-90-3P 121665-11-0P 126643-38-7P 156177-59-2P 156177-61-6P 156177-64-9P 156177-65-0P 156177-66-1P 156177-72-9P 156177-73-0P 156177-74-1P 156177-75-2P 156177-76-3P 156177-77-4P 156177-79-6P 156256-82-5P 156256-84-7P 156256-86-9P 167371-04-2P 167371-05-3P 167371-06-4P 167371-07-5P 167371-08-6P 167371-09-7P 167371-10-0P 167371-11-1P 167371-12-2P 167371-13-3P 167371-14-4P 167371-15-5P 167371-16-6P 167371-17-7P 167371-18-8P 178404-41-6P 178404-44-9P 178404-45-0P 178404-47-2P 178404-49-4P 178404-51-8P 178404-52-9P 178404-53-0P 178404-54-1P 178404-55-2P 178404-56-3P 178404-63-2P 178404-64-3P 178404-65-4P 178404-66-5P 178404-69-8P 178404-70-1P 187811-05-8P 189822-46-6P (preparation of staurosporine and K-252a derivs. as protein kinase inhibitors for treatment of neurol. disorders) 99533-80-9 USPATFULL RN CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

2,3,9,10,11,12-hexahvdro-10-hvdroxy-9-methyl-1-oxo-, methyl ester,

Absolute stereochemistry. Rotation (+).

(9S, 10R, 12R) - (CA INDEX NAME)

i][1,6]benzodiazocine-10-carboxylic acid,

- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10(hydroxymethyl)-9-methyl-, (95,105,12R)- (CA INDEX NAME)

- RN 118735-80-1 USPATFULL
- CN 9,12-Bpoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester,
  (9\$,10R,12R)- (9CI) (CA INDEX NAME)

- RN 118735-94-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (90I) (CA INDEX NAME)

- RN 121664-76-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[[(phenylamino]carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 121664-89-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester. (95.10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-90-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 126643-38-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-propoxy-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 156177-59-2 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=k1]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)10-methoxy=9-methyl-, (95,105,12E)- (CA INDEX NAME)

- RN 156177-61-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4
  - i)[1,6]benzodiazocine-10-carboxylic acid,
    16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (98,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-64-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 17[17], y) Sembod Rostria of Carlosy, 10 (ethylthio) methyl] -2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (CA INDEX NAME)

- RN 156177-66-1 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[(ethylthio)methyl]=2,3,9,10,11,12-hexahydro=10-hydroxy=5(hydroxymethyl)=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEN NAME)

- RN 156177-72-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-73-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-
  - [(phenylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-74-1 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine=10-carboxylic acid,
  5,16-dichloro=2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo-,
  methyl ester, (9s,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-75-2 USPATFULL
- CN 9,12=Bpoxy=1H-diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156177-79-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylamino)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9\$,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-82-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, (9\$,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-86-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(dimethylamino)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-04-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-05-3 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(4,5-dihydro-IH-imidazol-2-yl)hydrazono]methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-06-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-07-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

RN 167371-08-6 USPATFULL

NAME)

CN 9,12=Epoxy=1H=diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(2pyridinylsulfinyl)methyl]-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX

Absolute stereochemistry.

- RN 167371-09-7 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3-fg;3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[(1H-benzimidazol-2-ylthio)methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-10-0 HSPATFHILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,
16-[[(2-furanylmethyl)1-bio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 167371-11-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1-pyrrolidinylimino)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-12-2 USPATFULL
- CN 9,12=Epoxy=IH-diindolo[1,2,3=fg;3\*,2\*,1\*=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12=hexahydro=10-hydroxy=9-methyl=1-oxo=16=[(2pyridinylhydrazono)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-13-3 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[12-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-14-4 USPATEULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4il[1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1H-1,2,4-triazol-3-ylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- 167371-15-5 USPATFULL RN
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[(aminoiminomethyl)hydrazono]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-16-6 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[(4morpholinylimino)methyl]-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-17-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylhydrazono)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-18-8 HSPATFHLL.
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'+k]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=16-[[(4-methyl=1piperazinyl)imino]methyl]=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 178404-41-6 USPATFULL
- CN 9,12=Epoxy=1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(4H-1,2,4-triazol-4-ylimino)methyl]-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 178404-44-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-5,16-bis(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 178404-45-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

5,16-bis[[(2-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

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- RN 178404-47-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[((2-aminoethy1)thio]methy1]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methy1-1-oxo-, methy1 ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-49-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  14-[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (90I) (CA INDEX NAME)

- RN 178404-51-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5,14-diamino-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (9\$,108,128)- (9\$CI) (CA INDEX NAME)

- RN 178404-52-9 HSPATFILL.
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3-9,10,11,2-bay-shydrol-0-bydroxy-2-mathyl-5,16-bis[[(]-
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-5,16-bis[[(1-methyl)thio]methyl]-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

- RN 178404-53-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-5,16
  - bis[(propylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-54-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid.
  - 17(17)/John Land To Cart Say Te detay 5,16-bis[(butylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-55-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-5,16-bis(methoxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-56-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-63-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-16-(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-64-3 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5-[[(ethylamino)carbonyl]amino]-16-[(ethylthio)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

- RN 178404-65-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-5,9,16-trimethyl-1-oxo-, methyl
  ester. (95.10R.12R) (9CI) (CA INDEX NAME)

- RN 178404-66-5 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5-[(ethylsulfinyl)methyl]-16-[(ethylthio)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

- RN 178404-69-8 USPATFULL

- RN 178404-70-1 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(1,3-dithiolan-2-yl)-5-[([cthylamino)carbonyl]amino]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

- RN 187811-05-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester,
  (98.10R.12R)- (9CI) (CA INDEX NAME)

- RN 189822-46-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo(1,2,3-fg:3',2',1'-kl]pyrrolo(3,4i)[1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, hexyl ester,

(9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 187810-82-8 208651-59-6

(preparation of staurosporine and K-252a derivs. as protein kinase inhibitors for treatment of neurol. disorders)

- RN 187810-82-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 208651-59-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-5,10-dihydroxy-16-(hydroxymethyl)-9-methyl-1oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

IT 178404-43-8P

CN

(staurosporine alkaloidal K 252a analogs as protein kinase inhibitors for treatment of neurol. disorders)

- RN 178404-43-8 USPATFULL
- i][1,6]benzodiazocine-10-carboxylic acid, 16-[[[2-(butylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

9,12-Epoxy-1H-diindolo[1,2,3-fq:3',2',1'-k1]pvrrolo[3,4-

L17 ANSWER 16 OF 27 USPATFULL on STN

ACCESSION NUMBER: 1998:42376 USPATFULL Full-text

TITLE: Protein kinase inhibitors for treatmen of neurological

disorders
INVENTOR(S): Lewis, Mi

Lewis, Michael E., West Chester, PA, United States Kauer, James C., Kennett Sq., PA, United States

Neff, Nicola, Wallingford, PA, United States Roberts-Lewis, Jill, West Chester, PA, United States

Murakata, Chikara, Hachioji, Japan Saito, Hiromitsu, Mishima, Japan Matsuda, Yuzuru, Koganei, Japan

Glicksman, Marcie A., Swarthmore, PA, United States

Kanai, Fumihiko, Machida, Japan Kaneko, Masami, Sagamihara, Japan

PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

corporation)

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S. corporation)

19980421

19970214 (8)

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NUMBER KIND DATE

PATENT INFORMATION: US 5741808
APPLICATION INFO.: US 1997-800383

RELATED APPLN. INFO:

Division of Ser. No. US 1995-456642, filed on 2 Jun 1995 which is a continuation-in-part of Ser. No. US 1994-29540, filed on 26 Oct 1994, now patented, Pat. No. US 5621100 which is a continuation-in-part of Ser.

No. US 1993-96561, filed on 22 Jul 1993, now patented, Pat. No. US 5461146 which is a continuation-in-part of Ser. No. US 1992-920102, filed on 24 Jul 1992, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shaw, Mukund J.

ASSISTANT EXAMINER: Sripada, Pavanaram K.
LEGAL REPRESENTATIVE: Fish & Richardson P.C.

NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 29 Drawing Figure(s); 22 Drawing Page(s)

LINE COUNT: 2864

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

## 10/718-077

AB The invention features novel derivatives of K-252a, as well as novel bis-Nsubstituted derivatives of staurosporine. The invention also features a
method for treating diseased neuronal cells involving the administration of
either the novel staurosporine derivatives or specified functional
derivatives of K-252a.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 111259-86-4 118735-80-1 118735-94-7 21864-75-1 21264-75-4 12164-75-4 12164-89-9 121665-11-0 156177-69-4 156177-72-9 156177-73-0 156177-74-1 155177-75-2 156177-79-3 156177-75-2 156177-89-9 156256-82-5 156256-84-7 156256-86-9
  - (neuron function enhancing activity of)
- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1R-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10(hydroxymethyl)-9-methyl-, (95,105,12R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 118735-80-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester,
  (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 118735-94-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (99,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (90I) (CA INDEX NAME)

- RN 121664-76-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[[(phenylamino]carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 121664-89-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester. (95.10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-69-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(phenylsulfinyl)methyl]-, methyl ester, (9a,10β,12a)-(9CI) (CA INDEX NAME)

- RN 156177-72-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-73-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-
  - [(phenylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX
  - NAME)

- RN 156177-74-1 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine=10-carboxylic acid,
  5,16-dichloro=2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo-,
  methyl ester, (9s,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-75-2 USPATFULL
- CN 9,12=Bpoxy=1H-diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156177-79-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylamino)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-80-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-(hydrazonomethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-
  - , methyl ester,  $(9\alpha, 10\beta, 12\alpha)$  (9CI) (CA INDEX NAME)

- RN 156256-82-5 USPATFULL
- CN 9,12=Epoxy=IH=diindolo[1,2,3=fg:3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocin=1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy=9-methyl-, (95,105,128)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, (9\$,108,128)- (9C1) (CA INDEX NAME)

- RN 156256-86-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(dimethylamino)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (98,10R,12R)- (9C1) (CA INDEX NAME)

- IT 156177-59-2P 156177-61-6P 156177-64-9P 156177-65-0P 156177-66-1P
  - (preparation of, for enhancing neuron function)
- RN 156177-59-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)10-methoxy-9-methyl-, (95,105,12R)- (CA INBEX NAME)

- RN 156177-61-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 17(17,7)sentarectific through the Carlony of the Ca

- RN 156177-64-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-
  - hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

- RN 156177-66-1 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[(ethylthio)methyl]=2,3,9,10,11,12-hexahydro=10-hydroxy=5(hydroxymethyl)=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEN NAME)

- IT 108043-96-5 121664-90-2 156177-72-9
- (reaction of, in preparation of neuron function enhancing drug)
- RN 108043-96-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester, (9R,10S,12S)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 121664-90-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 16-((ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

## 10/718,077

156177-72-9 USPATFULL RN

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

Cephalon, Inc., USA

ACCESSION NUMBER: 1997:124905 HCAPLUS Full-text

DOCUMENT NUMBER: 126:216650 ORIGINAL REFERENCE NO.:

126:41815a,41818a

Aqueous polyethylane glycol TITLE:

solutions containing indolocarbazoles INVENTOR(S): Goldstein, Joel D.; Herman, Joseph L. PATENT ASSIGNEE(S):

SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 199,390,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

## 10/718-077

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5599808	A	19970204	US 1995-383414	19950203 <
PRIORITY APPLN. INFO.:			US 1994-199390 B2	19940218 <

GΙ

- AB Solns of indolocarbazoles, such as I [R = OH, OMe; Rl = H, Br, Cl, Me, NHCONHPh, CH2S (O)nkt, NHG2, NHCOMHP, CH2CONHPt, CH2SCB, CH2SCB, CH2NMel, CH2CONHPt, CH2NMel, CH2SCB, CH2NMel, CH2NMel, CH2NMel, CH2NMel, CONHEL, CONHP, CH2NMel, CH2NMel, CH2NMel, CH2NMel, CONHPH, CH2S (O)nPh; Zl = Z2 = H; ZlZ2 = O; n = 0-2], contain 1-99% organic solvent, 0.25-10% dispersant, 0-99% H2O and 0-60% polyethylene glycol. Thus, K-252a was dissolved in a solvent containing 90% PEG-600, 2% benzyl alc., 10% Triton X-100 and 38% H2O to give a solution containing 10 mg/mL K-252a. Many I were also prepared
- IT 25322-68-3

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of aqueous polyethylene glycol solns. containing indolocarbazoles)

- RN 25322-68-3 HCAPLUS
- CN Poly(oxy-1, 2-ethanediyl), α-hydro-ω-hydroxy- (CA INDEX NAME)

Ι

- IT 118735-94-7 156177-72-9 167468-02-2
  - 187310-82-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aqueous polyethylene glycol solns. containing indolocarbazoles)

- Indolocar bazoles)
- RN 118735-94-7 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,

16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

RN 156177-72-9 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167468-02-2 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl10-[[(4-methylphenyl)sulfonyl]oxy]methyl]-, (9S,10S,12R)- (9CI) (CA
INDEX NAME)

(9S, 10R, 12R) - (9CI) (CA INDEX NAME)

- 187810-82-8 HCAPLUS RN
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester,

Absolute stereochemistry.

- 156177-59-2P 156177-61-6P 156177-64-9P ΙT 156177-65-0P 156177-66-1P 156177-75-2P 156177-76-3P 156177-77-4P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of aqueous polyethylene glycol solns, containing indolocarbazoles) RN 156177-59-2 HCAPLUS
- 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-CN i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahvdro-10-(hydroxymethyl)-10methoxy-9-methyl-, (9S,10S,12R)- (CA INDEX NAME)

- RN 156177-61-6 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (95,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-64-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[((ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

RN 156177-65-0 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 156177-66-1 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

16-((ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-5-(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA

(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9C1) (C. INDEX NAME)

RN 156177-75-2 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156177-76-3 HCAPLUS

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;2',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-l-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (95,105,128)- (9CI) (CA INDEX NAME)

- IT 99533-80-9DP, K 252a, derivs.
  - RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of aqueous polyethylene glycol solns. containing indolocarbazoles)
- RN 99533-80-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

- IT 99533-80-9, K-252a 121664-90-2 187811-05-8
  RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (preparation of aqueous polyethylene glycol solns. containing
   indolocarbazoles)
- RN 99533-80-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester,
  (95,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

- RN 121664-90-2 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodlazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

187811-05-8 HCAPLUS RN

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 18 OF 27 USPATFULL on STN

97:104471 USPATFULL Full-text ACCESSION NUMBER: TITLE:

Selected soluble esters of hydroxyl-containing

indolocarbazoles

Mallamo, John P., Glenmore, PA, United States INVENTOR(S):

Hudkins, Robert L., Chester Springs, PA, United States

Cephalon, Inc., West Chester, PA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

ATT AD DD

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND DAIE	
PATENT INFORMATION:	US 5686444	19971111	<
APPLICATION INFO.:	US 1996-624707	19960326	(8)

### 10/718-077

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-417611, filed

on 5 Apr 1995 Utility

DOCUMENT TYPE: FILE SEGMENT: Granted

PRIMARY EXAMINER:

Shah, Mukund J. ASSISTANT EXAMINER: Sripada, Pavanaram K.

LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz MacKiewicz & Norris LLP

NUMBER OF CLAIMS: 35

EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 15 Drawing Figure(s); 15 Drawing Page(s)

LINE COUNT: 1575

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Esters of hydroxyl-containing indolocarbazoles and acids containing selected solubilizing groups are provided. Compositions including the indolocarbazole esters and methods for the use of the indolocarbazole esters are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

183488-52-0P 183488-62-2P

(preparation of soluble amino acid or dipeptide esters of hydroxylcontaining

indolocarbazoles)

183488-52-0 USPATFULL RN

CN 3-Pyridinecarboxylic acid, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183488-62-2 USPATFULL RN

CN β-Alanine, [(9S, 10S, 12R)-2, 3, 9, 10, 11, 12-hexahydro-10-methoxy-9-methyl-1-oxo-9, 12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4il[1,6]benzodiazocin-10-vl]methvl ester, monohydrochloride (9CI) (CA INDEX NAME)

IT 183488-46-2F 183488-48-4F 163488-50-6F 18348F-51-5P 193488-54-2F 183498-56-4P 183498-58-6F 183488-60-0F 183488-64-4P 183498-67-7F 183488-60-0F 183488-64-4P 193280-50-7F 193280-73-4F 199280-49-4P 199280-72-3F 199280-73-4F 199280-72-3F 199280-73-4F 199280-72-6F 199280-77-6F 199280-78-5F 199280-78-6F 19

(preparation of soluble amino acid or dipeptide esters of hydroxylcontaining

indolocarbazoles)

- RN 183488-46-2 USPATFULL
- CN L-Lysine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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■2 HC1

- RN 183488-48-4 USPATFULL
- CN Glycine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-50-8 USPATFULL
- CN L-Alanine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-51-9 USPATFULL
- CN Butanedioic acid, mono[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocin-10-yl]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-54-2 USPATFULL
- CN Glycine, N,N-dimethyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-56-4 USPATFULL
- CN Butanoic acid, 3-(dimethylamino)-,
  - (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

# 10/718,077

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● HCl

RN 183488-58-6 USPATFULL

CN L-Histidine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

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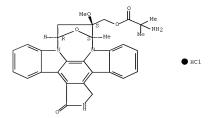
●2 HC1

- RN 183488-60-0 USPATFULL
- CN L-Arginine, [(98,108,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

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●2 HCl

- RN 183488-64-4 USPATFULL
- CN Alanine, 2-methyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



- RN 183488-67-7 USPATFULL
- CN L-Glutamic acid, 1-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

- RN 183488-70-2 USPATFULL
- CN β-Alanine, L-lysyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, hydrochloride (1:2) (CA INDEX NAME)

# 10/718,077

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■2 HC1

- RN 199280-49-4 USPATFULL
- CN 3-Pyridinecarboxylic acid, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, [95-(9α,108,12α)]- (9CI) (CA INDEX NAME)

- RN 199280-50-7 USPATFULL
- CN 3-Pyridinecarboxylic acid, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i)[1,6]benzodiazocin-10-yl]methyl ester, [98-(9 $\alpha$ ,10 $\beta$ ,12 $\alpha$ )]-, compd. with iodomethane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 199280-49-4

CMF C33 H26 N4 O5

Absolute stereochemistry.

CM 2

CRN 74-88-4

CMF C H3 I

H3C-I

RN 199280-70-1 USPATFULL

CN L-Lysine, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester (9CI) (CA INDEX NAME)

### 10/718-077

- RN 199280-71-2 USPATFULL
- CN Glycine, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-k]lpyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester (9C1) (CA INDEX NAME)

- RN 199280-72-3 USPATFULL
- CN L-Alanine, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 199280-73-4 USPATFULL
- CN Glycine, N,N-dimethyl-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1oxo-9,12-epoxy-lH-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocin-10-yl)methyl ester,
  [9s-(9a,10B,12a)]- (9CI) (CA INDEX NAME)

- RN 199280-74-5 HSPATFHLL
- CN Butanoic acid, 3-(dimethylamino)-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester (9CI) (CA INDEX NAME)

- RN 199280-75-6 USPATFULL
- CN L-Histidine, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester (SCI) (CA INDEX NAME)

- RN 199280-76-7 USPATFULL
- CN L-Arginine, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindol(1,2,3-fg;3',2',1'-kl)pyrrolo(3,4-i)[1,6]benzodiazocin-10-yl)methyl ester (9CI) (CA INDEX NAME)

- RN 199280-77-8 USPATFULL
- CN β-Alanine, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo(1,2,3-fg:3',2',1'-kl]pyrrolo(3,4-i][1,6]benzodiazocin-10-yl)methyl ester, [9S-(9α,10β,12α)]- (9CI) (CA INDEX NAME)

RN 199280-78-9 USPATFULL

CN Alanine, 2-methyl-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, [99-(9a,10B,12a)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 199280-79-0 USPATFULL

CN L-Glutamic acid, 1-[(2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl] ester (9CI) (CA INDEX NAME)

- RN 199280-80-3 HSPATFHILL
- CN β-Alanine, L-lysyl-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1],6]benzodiazocin-10-vl)methyl ester (9GI) (CA INDEX NAME)

IT 156177-59-2

(preparation of soluble amino acid or dipeptide esters of hydroxylcontaining  $\ensuremath{\mathsf{S}}$ 

indolocarbazoles)

- RN 156177-59-2 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocin=1-one, 2,3,9,10,11,12=hexahydro=10-(hydroxymethyl)=
  10-methoxy=9-methyl=, (9S,10S,12R)- (CA INDEX NAME)

IT 183488-45-1P 183488-47-3P 183488-49-5P 183488-57-5P 183488-59-7P 183488-61-1P 183488-63-3P 183488-66-6P 183488-69-9P

(preparation of soluble amino acid or dipeptide esters of hydroxyl-containing

indolocarbazoles)

RN 183488-45-1 USPATFULL

CN L-Lysine, N2, N6-bis[(1,1-dimethylethoxy)carbonyl]-,

[(9S, 10S, 12R)-2, 3, 9, 10, 11, 12-hexahydro-10-methoxy-9-methyl-1-oxo-9, 12epoxy-IH-diindolo[1, 2, 3-fg: 3', 2', 1', 1', 1k]pyrrolo[3, 4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 183488-47-3 USPATFULL

RN 183488-49-5 HSPATFILL.

NAME)

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, [98-[9a,10B,12a]]- (9CI) (CA INDEX

Absolute stereochemistry.

- RN 183488-57-5 USPATFULL
- CN L-Histidine, N,1-bis[(1,1-dimethylethoxy)carbonyl](2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo[1,2,3-fg;3',2',1'-k:]pyrrolo[3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

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RN 183488-59-7 USPATFULL

CN

L-Ornithine, N2-[(1,1-dimethylethoxy)carbonyl]-N5-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]-, (2,3,9,10,11,12-hexhaylor-01-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, [9S-(9 $\alpha$ ,10 $\beta$ ,12 $\alpha$ )]- (9CI) (CA INDEX NAME)

- RN 183488-61-1 USPATFULL
- CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdindololl,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9α,10β,12α)]- (9CI) (CA INDEX NAME)

- RN 183488-63-3 USPATFULL
- CN Alanine, N={(1,1-dimethylethoxy)carbonyl]-2-methyl-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo(1,2,3-fg;3',2',1'-kl)pyrrolo(3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

- RN 183488-66-6 USPATFULL
- CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl)-, 5-(1,1-dimethylethyl)  $1-[(2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,5]benzodiazocin-10-yl)methyl] ester, <math>[9S-(9\alpha,10\beta,12\alpha)]-(9CI)$  (CA INDEX NAME)

- RN 183488-69-9 USPATFULL
- CN β-Alanine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, [(95,105,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

— ови-t

L17 ANSWER 19 OF 27 USPATFULL on STN

ACCESSION NUMBER: 97:68592 USPATFULL Full-text

TITLE: Indolocarbazole derivatives to treat a pathological

condition of the prostate

INVENTOR(S): Dionne, Craig A., Harleysville, PA, United States

Contreras, Patricia C., West Chester, PA, United States

Murakata, Chikara, Tokyo, Japan

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)

Cephalon, Inc., West Chester, PA, United States (U.S.

KIND DATE

corporation)

NUMBER

PATENT INFORMATION:	US 5654427	19970805 <	
APPLICATION INFO.:	US 1995-463680	19950605 (8)	
RELATED APPLN. INFO.:	Division of Ser. No. US	1994-250175, filed on 27 Ma	ay
	1994, now patented, Pat	. No. US 5516771 which is a	
	continuation-in-part of	Ser. No. US 1993-96622, fil	led
	on 22 Jul 1993, now aba	ndoned which is a	
	continuation-in-part of	Ser. No. US 1993-69178, fil	led
	on 28 May 1993, now abar	ndoned	
DAGEN COLUMN TO THE PARTY OF TH	FR. 1.3.14		

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Raymond, Richard L. LEGAL REPRESENTATIVE: Fish & Richardson P.C.

NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s) LINE COUNT: 1974

### 10/718-077

### CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention features a method of treating a pathological condition of the prostate gland, e.g., benign prostatic hypertrophy or prostate cancer, in a mammal, said method comprising administering to said mammal a therapeutic amount of the indolocarbazole compound K-252a or a preferred derivative thereof. The invention also includes novel derivatives of K-252a.

# CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-99 108043-96-55 111358-86-4P 118735-93-07 118735-90-17 118735-90-17 118735-90-17 118735-90-17 118735-90-17 118735-90-17 118736-02-09 121664-75-39 121664-76-38 121664-89-99 121664-76-39 156177-759-29 156177-76-39 156255-64-79 167370-94-7P 167371-02-09 167371-03-1P 167371-04-2P 167371-05-39 167371-06-4P 167371-09-9 167371-104-2P 167371-109-9 167371-10-09 167371-119 167371-12-2P 167371-13-3P 167371-14-4P 167371-15-59 167371-16-87 167371-178-88

(claimed compound; preparation of indolocarbazole derivs. to treat prostatic  $% \left( 1\right) =\left( 1\right) +\left( 1\right$ 

cancer and benign prostatic hypertrophy)

RN 99533-80-9 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 108043-96-5 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester,
(9R,10S,12S)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10(hydroxymethyl)-9-methyl-, (95,105,12R)- (CA INDEX NAME)

- RN 118735-80-1 USPATFULL
- CN 9,12-Bpoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester,
  (9\$,10R,12R)- (9CI) (CA INDEX NAME)

- RN 118735-93-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-chloro-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (3\alpha,108,12\alpha)- (9CI) (CA INDEX NAME)

- RN 118735-94-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 118736-02-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester,
  [9R-(9a,10B,12a)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (9s,108,12R)- (9GI) (CA INDEX NAME)

- RN 121664-76-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[[(phenylamino]carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 121664-89-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester. (95.10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-90-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo(1,2,3-fg:3',2',1'-k1)pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-59-2 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocin=1-one, 2,3,9,10,11,12=hexahydro=10-(hydroxymethyl)=
  10-methoxy=9-methyl-, (9S,10S,12R)- (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167370-94-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[2-[(ethylamino)oxy]-2-oxoethyl]-2,3,9,10,11,12-hexahydro-10-hydroxy9-methyl-1-oxo-, methyl ester, (9a,10β,12a)- (9CI) (CA
  INDEX NAME)

Currently available stereo shown.

- RN 167371-02-0 USPATFULL
- CN 9,12-Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[bis(phenylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, [9S-(9a,10B,12a)]- (9CI) (CA
  INDEX NAME)

- RN 167371-03-1 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3\*,2\*,1\*=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-(1,3-dithiolan=2=yl)=1,2,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1oxo-, methyl ester, [9S-(9a,10\beta,12\alpha)]- (9CI) (CA INDEX NAME)

- RN 167371-04-2 HSPATFHLL.
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-05-3 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(4,5-dihydro-IH-imidazol-2-yl)hydrazono]methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-06-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-07-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

RN 167371-08-6 USPATFULL

NAME)

CN 9,12=Epoxy=1H=diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(2pyridinylsulfinyl)methyl]-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX

Absolute stereochemistry.

- RN 167371-09-7 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=k][pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16=[(1H=benzimidazol=2-ylthio]methy1]=2,3,9,10,11,12=hexahydro=10hydroxy=9-methy1=1-oxo-, methy1 ester, (9S,10R,12R)= (9CI) (CA INDEX NAME)

- RN 167371-10-0 HSPATFHILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,
16-[((2-furanylmethyl)thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 167371-11-1 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(1
  - pyrrolidinylimino)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-12-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylhydrazono)methyl]-, methyl ester, (98,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-13-3 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[12-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-14-4 HSPATFILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i]/1,6|benzodiazocine-10-carboxylic acid.
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1H-1,2,4-triazol-3-ylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-15-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 16-[(aminoiminomethyl) hydrazono] methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-16-6 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[(4morpholinylimino)methyl]-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-17-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylhydrazono)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-18-8 HSPATFHLL.
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'+k]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=16-[[(4-methyl=1piperazinyl)imino]methyl]=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

# IT 156177-65-0

(preparation of indolocarbazole derivs. to treat prostatic cancer and

#### benign

- prostatic hypertrophy from)
- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9s,10R,12R)- (CA INDEX NAME)

#### TT 167468-02-2P

(preparation of indolocarbazole derivs. to treat prostatic cancer and

benign prostatic hypertrophy from)

RN 167468-02-2 USPATFULL

ON 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-10-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 20 OF 27 USPATFULL on STN

ACCESSION NUMBER: 97:64006 USPATFULL Full-text

TITLE: Selected soluble esters of hydroxyl-containing

indolocarbazoles

INVENTOR(S): Mallamo, John P., Glenmore, PA, United States

Hudkins, Robert L., Chester Springs, PA, United States PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

Cephalon, Inc., West Chester, PA, United State corporation)

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)

### 10/718-077

	NUMBER KIND	DATE		
PATENT INFORMATION:	US 5650407	19970722	<	
APPLICATION INFO.:	US 1995-417611	19950405 (8	:)	
DOCUMENT TYPE:	Utility			
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PRIMARY EXAMINER:	Shah, Mukund J.			
ASSISTANT EXAMINER:	Sripada, Pavanaram K.			
LEGAL REPRESENTATIVE:	Woodcock Washburn Kurt:	z Mackiewicz &	Norris	
NUMBER OF CLAIMS:	23			
EXEMPLARY CLAIM:	1			
NUMBER OF DRAWINGS:	15 Drawing Figure(s);	15 Drawing Pag	re(s)	
LINE COUNT:	1336			
AND THERMAND TO MUNICIPAL FOR MUTO DAMPHIN				

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Esters of hydroxyl-containing indolocarbazoles and acids containing selected AB solubilizing groups are provided. Compositions including the indolocarbazole esters and methods for the use of the indolocarbazole esters are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 156177-59-3
- (preparation of)
- RN 156177-59-2 USPATFULL
- ĊN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl-, (9S,10S,12R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 183488-52-0P

(prepn.of soluble esters of hydroxyl-containing indolocarbazoles as choline acetyltransferase inhibitors)

- 183488-52-0 USPATFULL RN
- CN 3-Pyridinecarboxylic acid, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'kl]pvrrolo[3,4-i][1,6]benzodiazocin-10-vl]methvl ester, monohydrochloride (9CI) (CA INDEX NAME)

IT 183468-J6-2P 183488-48-4P 183488-50-3P 183488-51-1P 183488-51P 183488-54-2P 183488-56-6P 183488-66-0P 183488-62-2P 183488-64-4P 183488-67-7P 183488-70-2P

(prepn.of soluble esters of hydroxyl-containing indolocarbazoles as choline acetyltransferase inhibitors)

RN 183488-46-2 USPATFULL

CN L-Lysine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 2-A

●2 HC1

- RN 183488-48-4 USPATFULL
- CN Glycine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-50-8 USPATFULL
- CN L-Alanine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA
  INDEX NAME)

Absolute stereochemistry.

- RN 183488-51-9 USPATFULL
- CN Butanedioic acid, mono[[(95,105,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i)[1,6]benzodiazocin-10-yl]methyl] ester (9CI) (CA INDEX NAME)

- RN 183488-53-1 HSPATFILL.
- CN Pyridinium, 3-[[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methoxy]carbonyl]-1-methyl-, iodide (9CI)
  (CA INDEX NAME)

- RN 183488-54-2 USPATFULL
- CN Glycine, N,N-dimethyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAMB)

# 10/718,077

- RN 183488-56-4 HSPATFILL
- CN Butanoic acid, 3-(dimethylamino)-,

(2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-k]]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

- RN 183488-58-6 USPATFULL
- CN L-Histidine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1oxo-9,12-epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA
  INDEX NAME)

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●2 HC1

RN 183488-60-0 USPATFULL

CN

L-Arginine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-lH-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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●2 HC1

- RN 183488-62-2 USPATFULL
- CN β-Alanine, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-64-4 USPATFULL
- CN Alanine, 2-methyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-k]]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

- RN 183488-67-7 USPATFULL
- CN L-Glutamic acid, 1-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

- RN 183488-70-2 USPATFULL
- CN β-Alanine, L-lysyl-, [(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester, hydrochloride (1:2) (CA INDEX NAME)

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■2 HC1

- IT 183488-45-1P 182488-47-2P 183488-49-5P 183498-55-7P 183498-55-7P 183498-55-7P 183498-55-7P 183488-65-67 183488-65-9P (prepn. of soluble esters of hydroxyl-containing indolocarbazoles as choline acetyltransferase inhibitors)
- RN 183488-45-1 USPATFULL
- CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, [(98,108,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 183488-47-3 USPATFULL
- CN Glycine, N=[(1,1-dimethylethoxy)(arbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo(1,2,3-fg;3',2',1'-k]pyrrolo(3,4-i)[(1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

- RN 183488-49-5 HSPATFHILL
- CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10yl]methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

- RN 183488-57-5 USPATFULL
- CN L-Histidine, N,1-bis[(1,1-dimethylethoxy)carbonyl](2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo[1,2,3-fg;3',2',1'-k:]pyrrolo[3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

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$$\bigcap_{H} \bigcap_{OBu-t} \bigcap_{OBu-t}$$

RN 183488-59-7 USPATFULL CN L-Ornithine, N2-[(1.1-c

L-Ornithine, N2-[(1,1-dimethylethoxy)carbonyl]-N5-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]-, (2,3,9,10,11,12-hexhayhoro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl ester, [98-(9 $\alpha$ ,10 $\beta$ ,12 $\alpha$ )]- (9CI) (CA INDEX NAME)

- RN 183488-61-1 HSPATFILL
- CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdindololl,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9α,10β,12α)]- (9CI) (CA INDEX NAME)

- RN 183488-63-3 USPATFULL
- CN Alanine, N={(1,1-dimethylethoxy)carbonyl]-2-methyl-, (2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1Hdiindolo(1,2,3-fg;3',2',1'-kl)pyrrolo(3,4-i][1,6]benzodiazocin-10yl)methyl ester, [9S-(9a,10β,12a)]- (9CI) (CA INDEX NAME)

- RN 183488-66-6 USPATFULL
- CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl)-, 5-(1,1-dimethylethyl)  $1-[(2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,5]benzodiazocin-10-yl)methyl] ester, <math>[9S-(9\alpha,10\beta,12\alpha)]-(9CI)$  (CA INDEX NAME)

- RN 183488-69-9 USPATFULL
- CN β-Alanine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, [(95,105,12R)-2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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L17 ANSWER 21 OF 27 USPATFULL on STN ACCESSION NUMBER: 97:31819 USPATFULL Full-text TITLE: Protein kinase inhibitors for treatment of neurological Lewis, Michael E., West Chester, PA, United States INVENTOR(S): Kauer, James C., Kennett Square, PA, United States Neff, Nicola, Wallingford, PA, United States Roberts-Lewis, Jill, West Chester, PA, United States Murakata, Chikara, Hachioji, Japan Saito, Hiromitsu, Mishima, Japan Matsuda, Yuzuru, Koganei, Japan Glicksman, Marcie A., Swarthmore, PA, United States Kanai, Fumihiko, Machida, Japan Kaneko, Masami, Sagamihara, Japan Cephalon, Inc., West Chester, PA, United States (U.S. PATENT ASSIGNEE(S): corporation) Kyowa Hakko Kogyo, Tokyo, Japan (non-U.S. corporation)

PATENT INFORMATION:	US 5621101	19970415	<
APPLICATION INFO.:	US 1995-486739	19950607 (8)	
RELATED APPLN. INFO.:	Continuation-in-part of	Ser. No. US 1994-	329540, filed
	on 26 Oct 1994, now patented, Pat. No. US 5621100 wh:		
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	filed on 22 Jul 1993, now patented, Pat. No. US 5461146		
	which is a continuation-in-part of Ser. No. US		
	1992-920102, filed on 2	4 Jul 1992, now ab-	andoned
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		

KIND

DATE

NUMBER

### 10/718-077

PRIMARY EXAMINER: Shah, Mukund J.

ASSISTANT EXAMINER: Sripada, Pavanaram K. LEGAL REPRESENTATIVE: Fish & Richardson P.C.

NUMBER OF CLAIMS: 16

EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 27 Drawing Figure(s); 22 Drawing Page(s)

LINE COUNT: 2840

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention features novel derivatives of K-252a, as well as novel bis-Nsubstituted derivatives of staurosporine. The invention also features a
method for treating diseased neuronal cells involving the administration of
either the novel staurosporine derivatives or specified functional
derivatives of K-252a.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TT 118735-94-7P 121664-89-9P 156177-72-9P

(preparation of K-252a derivs. as protein kinase inhibitors for treatment of

neurol. disorders)

RN 118735-94-7 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester. (95.10R.12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121664-89-9 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]Denzodiazocine-10-carboxylic acid,
16-{(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-

oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-72-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

ester, (9S, 10R, 12R) - (9CI) (CA INDEX NAME)

i][1,6]benzodiazocine-10-carboxylic acid, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl

Absolute stereochemistry.

IT 99533-80-9DP, K-252a, derivs. 111358-88-4P 118735-80-1P 121664-75-3P 121664-76-4P 121664-90-2P 121665-11-0P 126643-38-7P 156177-59-2P 156177-61-6P 156177-64-9P 156177-65-0P 156177-66-1P 156177-73-0P 156177-74-1P 156177-75-2P 156177-76-3P 156177-77-4P 156177-79-6P 156256-84-7P 156356-86-9P 167371-04-2P 167371-05-3P 167371-06-4P 167371-07-5P 167371-08-6P 167371-09-7P 167371-10-0P 167371-11-1P 167371-12-20 167371-13-30 167371-14-40 167371-15-5P 167371-16-6P 167371-17-7P 167371-18-8P 178404-41-6P 178404-43-8P 178404-45-0P 178404-47-2P 178404-49-4P 178404-51-8P 178404-52-9P 178404-53-0P 178404-54-1P 178404-55-2P 178404-56-3P

### 10/718-077

178404-63-2P 178404-64-3P 178404-65-4P 178404-65-5P 178404-68-7P 178404-69-8P 178404-70-1P 187811-05-8P 189822-46-6P 189827-88-1P

(preparation of K-252a derivs. as protein kinase inhibitors for treatment

neurol. disorders)

of

CN

RN 99533-80-9 USPATFULL

9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10(hydroxymethyl)-9-methyl-, (9s,10s,12R)- (CA INDEX NAME)

- RN 118735-80-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

### 10/718-077

i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester, (9\$,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (9s,108,128)- (901) (CA INDEX NAME)

Absolute stereochemistry.

- RN 121664-76-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[[(phenylamino)carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-90-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo(1,2,3-fg:3',2',1'-k1)pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 126643-38-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-propoxy-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 156177-59-2 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=k1]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)10-methoxy=9-methyl-, (95,105,12E)- (CA INDEX NAME)

- RN 156177-61-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-64-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 17)(7)(5)(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (CA INDEX NAME)

- RN 156177-66-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-5(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CF

(hydroxymethy1)-9-methy1-1-oxo-, methy1 ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-73-0 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[(phenylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-74-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5,16-dichloro-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-75-2 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=k1]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156177-79-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-((dimethylamino)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-86-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(dimethylamino)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-04-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-05-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;31,2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[((4,5-dihydro-1H-imidazol-2-yl)hydrazono]methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-06-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-07-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

RN 167371-08-6 USPATFULL

NAME)

CN 9,12=Epoxy=1H=diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(2pyridinylsulfinyl)methyl]-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX

Absolute stereochemistry.

- RN 167371-09-7 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=k][pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16=[(1H=benzimidazol=2-ylthio]methy1]=2,3,9,10,11,12=hexahydro=10hydroxy=9-methy1=1-oxo-, methy1 ester, (9S,10R,12R)= (9CI) (CA INDEX NAME)

- RN 167371-10-0 HSPATFHILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,
16-[((2-furanylmethyl)thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 167371-11-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1-pyrrolidinylimino)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-12-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylhydrazono)methyl]-, methyl ester, (98,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-13-3 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[12-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-14-4 USPATEULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4il[1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1H-1,2,4-triazol-3-ylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- 167371-15-5 USPATFULL RN
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[(aminoiminomethyl)hydrazono]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R)- (9CI) (CA INDEX NAME)

- RN 167371-16-6 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[(4morpholinylimino)methyl]-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-17-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylhydrazono)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-18-8 HSPATFHLL.
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'+k]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=16-[[(4-methyl=1piperazinyl)imino]methyl]=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 178404-41-6 USPATFULL
- CN 9,12=Epoxy=1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(4H-1,2,4-triazol-4-ylimino)methyl]-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-43-8 HSPATFILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[[2-(butylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10-

16-[[[2-(butylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 178404-45-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,

5,16-bis[[[2-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

∼NMe2

- RN 178404-47-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[((2-aminoethy1)thio]methy1]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methy1-1-oxo-, methy1 ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-49-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  14-[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (90I) (CA INDEX NAME)

- RN 178404-51-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5,14-diamino-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (9\$,108,128)- (9\$CI) (CA INDEX NAME)

- RN 178404-52-9 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-5,16-bis[[(1-
  - 2,3,9,10,11,12-nexanydro-10-nydroxy-9-metny1-5,16-518[(1-methylethyl)thio]methyl]-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

- RN 178404-53-0 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-5,16bis[(propylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-54-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i)[1,6]benzodiazocine-10-carboxylic acid,
    5,16-bis([butylthio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (95,108,128)- (9CI) (CA INDEX NAME)

- RN 178404-55-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 2,3,9,10,11,12-hexahydro-10-hydroxy-5,16-bis(methoxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-56-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]]benzodiazocine-10-carboxylic acid,
  5,16-bis(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-63-2 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  5=[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro=10-hydroxy=16(hydroxymethyl)=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEX NAME)

- RN 178404-64-3 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5-[[(ethylamino)carbonyl]amino]-16-[(ethylthio)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

- RN 178404-65-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-5,9,16-trimethyl-1-oxo-, methyl
  ester, (95,10R,12R) (9CI) (CA INDEX NAME)

- RN 178404-66-5 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5-[(ethylsulfinyl)methyl]-16-[(ethylthio)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

- RN 178404-68-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-5,10,16tris(hydroxymethyl)-9-methyl-, [9S-(9a,10β,12a)]- (9CI)
  (CA INDEX NAME)

- RN 178404-69-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-(1,3-dithiolan-2-yl)-2,3,9,10,11,12-hexahydro-9-methyl-5-nitro-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-70-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-(1,3-dithiolan-2-yl)-5-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12hexahvdro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI)

(CA INDEX NAME)

- RN 187811-05-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (9CI) (CA INDEX NAME)

- 189822-46-6 USPATFULL RN
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, hexyl ester, (9S, 10R, 12R) - (9CI) (CA INDEX NAME)

- RN 189827-88-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12hexahydro-10-methoxy-9-methyl-, [9S-(9α,10β,12α)](9CI) (CA INDEX NAME)

IT 187810-83-8

of

- (preparation of K-252a derivs. as protein kinase inhibitors for treatment
- neurol. disorders)
- RN 187810-82-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester,
  (9S,10R,12R)- (9CI) (CA INDEX NAME)

TT 178464-44-9P

(preparation of K-252a derivs. as protein kinase inhibitors for treatment

of neurol. disorders)

RN 178404-44-9 USPATFULL

ON 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-5,16-bis(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 22 OF 27 USPATFULL on STN

ACCESSION NUMBER: 97:31818 USPATFULL Full-text

TITLE: K-252a derivatives for treatment of neurological

disorders
INVENTOR(S): Lewis, Mic

Lewis, Michael E., West Chester, PA, United States Kauer, James C., Kennett Square, PA, United States Neff, Nicola, Wallingford, PA, United States Roberts-Lewis, Jill, West Chester, PA, United States Murakata, Chikara, Hachioji, Japan Saito, Hiromitsu, Mishima, Japan

Matsuda, Yuzuru, Koganei, Japan

## 10/718-077

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PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

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Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)

NUMBER KIND DATE 19970415 PATENT INFORMATION: US 5621100

<--US 1994-329540 19941026 (8) APPLICATION INFO.:

Continuation-in-part of Ser. No. US 1993-96561, filed RELATED APPLN. INFO.: on 22 Jul 1993, now patented, Pat. No. US 5461146 which

is a continuation-in-part of Ser. No. US 1992-920102,

filed on 24 Jul 1992, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Sripada, Pavanaram K. LEGAL REPRESENTATIVE: Fish & Richardson P.C.

NUMBER OF CLAIMS: 1

EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 26 Drawing Figure(s); 20 Drawing Page(s)

LINE COUNT:

2356 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention features novel derivatives of K-252a, as well as novel bis-Nsubstituted derivatives of staurosporine. The invention also features a method for treating diseased neuronal cells involving the administration of either the novel staurosporine derivatives or specified functional derivatives of K-252a.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 118735-94-7P 121664-89-9P 156177-72-9P

(preparation of K-252a derivs. as protein kinase inhibitors for treatment of

neurol. disorders)

RN 118735-94-7 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

16-bromo-2, 3, 9, 10, 11, 12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (9CI) (CA INDEX NAME)

- RN 121664-89-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (9\$,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-72-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (9s,10R,12R)- (9CI) (CA INDEX NAME)

(9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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121664-90-2P 121665-11-0P 126643-38-7P
      156177-59-2P 156177-61-6P 156177-64-9P
      156177-65-0P 156177-66-1P 156177-73-0P
      156177-74-1P 156177-75-2P 156177-76-3P
      156177-77-4P 156177-79-6P 156256-82-5P
      156256-84-7P 156256-86-9P 167371-04-2P
      167371-05-3P 167371-06-4P 167371-07-5P
      167371-08-6P 167371-09-7P 167371-10-0P
      167371-11-1P 167371-12-2P 167371-13-3P
      167371-14-4P 167371-15-5P 167371-16-6P
      167371-17-7P 167371-18-8P 178404-41-6P
      178404-43-8P 178404-45-0P 178404-47-2P
      178404-49-4P 178404-51-8P 187811-05-8P
      189822-46-6P
        (preparation of K-252a derivs. as protein kinase inhibitors for treatment
of
       neurol. disorders)
RN
     99533-80-9 USPATFULL
CN
     9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-
       i][1,6]benzodiazocine-10-carboxylic acid,
```

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester,

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- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10(hydroxymethyl)-9-methyl-, (95,105,12R)- (CA INDEX NAME)

- RN 118735-80-1 USPATFULL
- CN 9,12-Bpoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester,
  (9\$,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 121664-76-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[[(phenylamino)carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  - [[(pnenylamino)carbonyl]amino]-, metnyl ester, (95,108,128)- (961) (CA INDEX NAME)

- RN 121664-90-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo(1,2,3-fg:3',2',1'-k1)pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 126643-38-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-propoxy-, methyl
  ester, (95,10R,12R)- (CA INDEX NAME)

- RN 156177-59-2 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=k1]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)10-methoxy=9-methyl-, (95,105,12E)- (CA INDEX NAME)

- RN 156177-61-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i|[1,6]benzodiazocine-10-carboxylic acid,
    16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (98,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-64-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    5,16-bis[(ethylthio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (98,10R,12R)- (CA INDEX NAME)

- RN 156177-66-1 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[(ethylthio)methyl]=2,3,9,10,11,12-hexahydro=10-hydroxy=5(hydroxymethyl)=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)= (9CI) (CA
  INDEN NAME)

- RN 156177-73-0 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[(phenylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-74-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid, 5,16-dichloro-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-75-2 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=k1]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156177-79-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-((dimethylamino)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-82-5 USPATFULL
- CN 9,12=Epoxy=IH=diindolo[1,2,3=fg:3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocin=1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy=9-methyl-, (95,105,128)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, 95,10R,12R). (9CI) (CA INDEX NAME)

- RN 156256-86-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(dimethylamino)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-04-2 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=hl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12=hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(2pyridinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-05-3 HSPATFILL.
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(4,5-dihydro-1H-imidazol-2-yl)hydrazono]methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-06-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI)
  NAME)

- RN 167371-07-5 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 167371-08-6 USPATFULL
- CN 9,12-Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-09-7 HSPATFILL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[(1H=benzinidazol=2-ylthio)methy1]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methy1=1-oxo-, methy1 ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-10-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(2-furanylmethyl)thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-11-1 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'+k]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(1pyrrolidinylimino)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-12-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylhydrazono)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 167371-13-3 HSPATFILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

 $16-\left[\left[\left[2-\left(\text{dimethylamino}\right)\text{ethyl}\right]\text{thio}\right]\text{methyl}\right]-2,3,9,10,11,12-\text{hexahydro-}10-\text{hydroxy-}9-\text{methyl-}1-\text{oxo-}, \text{ methyl ester, } (95,10R,12R)- (9CI) (CA INDEX NAME)$ 

Absolute stereochemistry.

- RN 167371-14-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1H-1,2,4-triazol-3-vlthio]methyl]-, methyl ester. (9S.10R.12R)- (9CI) (CA INDEX NAME)

- RN 167371-15-5 HSPATEULI.
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[(aminoiminomethy1)hydrazono]methy1]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methy1-1-oxo-, methy1 ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-16-6 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3\*,2\*,1\*=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12=hexahydro=10-hydroxy=9-methyl=16-[(4morpholinylimino)methyl]=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 167371-17-7 HSPATFILL.
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,

16-[(dimethylhydrazono)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-18-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[[(4-methyl-1-piperazinyl)lmino]methyl]-1-oxo-, methyl ester, (98,10R,12R)- (9CI) (CA INDEX NAME)

4-ylimino)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-41-6 HSPATFHLL
- CN 9,12-Epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(4H-1,2,4-triazol-

Absolute stereochemistry.
Double bond geometry unknown.

- RN 178404-43-8 USPATFULL
- CN 9,12=Bpoxy=1H=diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[[[2-(butylamino)ethyl]thio]methyl]=2,3,9,10,11,12-hexahydro=10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 178404-45-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid, 5,16-bis[[[2-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

∼NMe2

- RN 178404-47-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[((2-aminoethyl)thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 178404-49-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  14-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (90I) (CA INDEX NAME)

- RN 178404-51-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5,14-diamino-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 187811-05-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester,
  - 2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 189822-46-6 USPATFULL
- CN 9,12-Epoxy-lH-diindolo(1,2,3-fg:3',2',1'-kl]pyrrolo(3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, hexyl ester,

(9S, 10R, 12R) - (9CI) (CA INDEX NAME)

IT 187810-82-8

(preparation of K-252a derivs. as protein kinase inhibitors for treatment

of neurol. disorders)

- RN 187810-82-8 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    - 2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

TT 178464-44-9P

(preparation of K-252a derivs. as protein kinase inhibitors for treatment

of neurol. disorders)

178404-44-9 USPATFULL RN

9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-5,16-bis(hydroxymethyl)-9-methyl-1oxo-, methyl ester, (9S, 10R, 12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 23 OF 27 USPATFULL on STN

ACCESSION NUMBER: 96:41211 USPATFULL Full-text

TITLE: K-252 derivatives which enhance neurotrophin-induced activity

INVENTOR(S):

Glicksman, Marcie A., Swarthmore, PA, United States Hudkins, Robert L., Chester Springs, PA, United States Rotella, David P., Dowington, PA, United States

Neff, Nicola T., Rose Valley, PA, United States

Murakata, Chikara, Tokyo, Japan

Cephalon, Inc., West Chester, PA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

# 10/718-077

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)			
NUMBER	KIND	DATE	

PATENT INFORMATION: US 5516772 19960514

APPLICATION INFO.: US 1994-307530 19940916 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-122893, filed

on 16 Sep 1993, now patented, Pat. No. US 5468872 DOCUMENT TYPE:

Utility FILE SEGMENT: Granted

PRIMARY EXAMINER:

Haley, Jacqueline

LEGAL REPRESENTATIVE: Fish & Richardson, Burgoon, Jr., Richard P.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 7 Drawing Figure(s): 6 Drawing Page(s)

LINE COUNT: 1241

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are derivatives of the indolocarbazole alkaloid K-252a of the Formulae I-VI, useful for enhancing neurotrophin-induced activity of neurotrophin responsive cells. A particularly preferred neurotrophin is NT-3, and a particularly preferred neurotrophin responsive cell is one which comprises a trk receptor. The enhanced neurotrophin-induced activity occasioned by the disclosed K-252a derivatives may be determined by the following assays: ChAT activity; DRG neuronal survival; or cell division (mitogenesis).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9D, K-252a, derivs. 124911-38-2 124911-39-3

(K-252 derivs.for enhancement of neurotrophin-induced activity,

measurement of activity enhancement, and derivative preparation) 99533-80-9 USPATFULL RN

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4il[1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S, 10R, 12R) - (CA INDEX NAME)

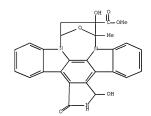
Absolute stereochemistry. Rotation (+).

# 10/718,077

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
3-(ethylthio)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
methyl ester (9CI) (CA INDEX NAME)

RN 124911-39-3 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-l0-carboxylic acid,
2,3,9,10,11,12-hexahydro-3,10-dihydroxy-9-methyl-l-oxo-, methyl ester
(9CI) (CA INDEX NAME)



IT 167468-02-2

(K-252 derivs.for enhancement of neurotrophin-induced activity, measurement of activity enhancement, and derivative preparation)

RN 167468-02-2 USPATFULL

CN 9,12-Epoxy-IH-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl10-[[(4-methylphenyl)sulfonyl]oxy]methyl]-, (9S,10S,12R)- (9CI) (CA
INDEX NAME)

L17 ANSWER 24 OF 27 USPATFULL on STN

ACCESSION NUMBER: 96:41210 USPATFULL Full-text

TITLE: Use of indolocarbazole derivatives to treat a

pathological condition of the prostate

INVENTOR(S): Dionne, Craig A., Harleysville, PA, United States
Contreras, Patricia C., West Chester, PA, United States

Murakata, Chikara, Tokyo, Japan

PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

corporation)

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)

APPLICATION INFO.: US 1994-250175 19940527 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-96622, filed

on 22 Jul 1993, now abandoned which is a

continuation-in-part of Ser. No. US 1993-69178, filed on 28 May 1993

Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Raymond, Richard L.

LEGAL REPRESENTATIVE: Burgoon, Jr., Richard P.Fish & Richardson

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1

DOCUMENT TYPE:

NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)

LINE COUNT: 1997

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention features a method of treating a pathological condition of the prostate gland, e.g., benign prostatic hypertrophy or prostate cancer, in a mammal, said method comprising administering to said mammal a therapeutic amount of the indolocarbazole compound K-252a or a preferred derivative thereof. The invention also includes novel derivatives of K-252a.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 108043-96-5P 111258-86-4P 116735-80-1P 116735-94-7P 118736-02-0P 121664-75-3P 121664-76-4P 121665-11-0P 156177-59-2P 156177-66-1P 156177-75-9P 156177-74-1P

# 10/718,077

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156177-75-2P 156177-76-3P 156177-77-4P 156256-82-3P 156256-84-7P 167371-03-2P 167371-03-3P 167371-05-3P 167371-05-3P 167371-05-3P 167371-10-4P 167371-10-0P 167371-11-1P 167371-11-2P 167371-13-3P 167371-14-4P 167371-15-5P 167371-15-9P 167371-15-7P 167371-16-6P 167371-17-7P 167371-18-8P 178247-69-3P
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(use of indolocarbazole derivs. to treat pathol. condition of the prostate)

- RN 108043-96-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-methoxy-9-methyl-1-oxo-, methyl ester,
  (9R,108,125)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]Denzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-, (95,105,12R)- (CA INDEX NAME)

# 10/718-077

- RN 118735-80-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester,
  (9s.10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 118735-94-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 118736-02-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester,
  [9R-(9a,10R,12a)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-

methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 121664-76-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[[(phenylamino)carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-59-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)10-methoxy-9-methyl-, (95,105,12R)- (CA INDEX NAME)

- RN 156177-66-1 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-5(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 156177-72-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (95,10R,12R)- (961) (CA INDEX NAME)

- RN 156177-74-1 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine=10-carboxylic acid,
  5,16-dichloro=2,3,9,10,11,12-hexahydro=10-hydroxy=9-methyl=1-oxo-,
  methyl ester, (9s,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-75-2 USPATFULL
- CN 9,12=Bpoxy=1H-diindolo[1,2,3=fg;3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156256-82-5 USPATFULL
- CN 9,12=Epoxy=IH=diindolo[1,2,3=fg:3',2',1'=kl]pyrrolo[3,4i][1,6]benzodiazocin=1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy=9-methyl-, (95,105,128)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, 95,10R,12R). (9CI) (CA INDEX NAME)

- RN 167371-04-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-05-3 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(4,5-dihydro-IH-imidazol-2-yl)hydrazono]methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-06-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-07-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 167371-08-6 HSPATFILL
- CN 9,12=Epoxy=1H=diindolo[1,2,3=fg;3',2',1'=hl]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  2,3,9,10,11,12=hexahydro=10-hydroxy=9-methyl=1-oxo=16-[(2pyridinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-09-7 USPATFULL
- CN 9,12=Epoxy=1H=diindolo[1,2,3-fg;3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine=10-carboxylic acid,
  16-[(1H-benzimidazol-2-ylthio)methyl]-2,3,9,10,11,12-hexahydro-10hydroxy=9-methyl=1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-10-0 HSPATFHILL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,
16-[((2-furanylmethyl)thio]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 167371-11-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1-pyrrolidinylimino)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-12-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylhydrazono)methyl]-, methyl ester, (98,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-13-3 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[12-(dimethylamino)ethyl]thio]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-14-4 USPATEULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4il[1,6]benzodiazocine-10-carboxylic acid.
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(1H-1,2,4-triazol-3-ylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- 167371-15-5 USPATFULL RN
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

  - 16-[[(aminoiminomethyl)hydrazono]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-16-6 HSPATFHLL.
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[(4morpholinylimino)methyl]-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 167371-17-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylhydrazono)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9methyl-1-oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-18-8 HSPATFHLL.
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[[(4-methyl-1-piperazinyl)imino]methyl]-1-oxo-, methyl ester, (98,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

- RN 178247-69-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, [9S-(9α,10β,12α)]- (9CI)

(CA INDEX NAME)

IT 99533-80-9P, K-252a

(use of indolocarbazole derivs. to treat pathol. condition of the prostate)

- RN 99533-80-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4
  - il[1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 167468-02-2

(use of indolocarbazole derivs. to treat pathol. condition of the prostate)

- RN 167468-02-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl10-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, (9S,10S,12R)- (9CI) (CA
  INDEX NAME)

TT 167371-02-0P 167371-03-1P

(use of indolocarbazole derivs. to treat pathol. condition of the prostate)

- RN 167371-02-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxvlic acid,

16-[bis(phenylthio)methyl]-2,3, $\bar{9}$ ,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, [9S-(9 $\alpha$ ,10 $\beta$ ,12 $\alpha$ )]- (9CI) (CA

INDEX NAME)

- RN 167371-03-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,

16-(1,3-dithiolan-2-y1)-1,2,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, [9S-(9a,10B,12a)]- (9CI) (CA INDEX

NAME)

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L17 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                        1995:931389 HCAPLUS Full-text
DOCUMENT NUMBER:
                        124:15478
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ORIGINAL REFERENCE NO.: 124:2921a,2924a

TITLE: Aqueous indolocarbazole solutions

INVENTOR(S): Goldstein, Joel D.; Herman, Joseph L. PATENT ASSIGNEE(S): Cephalon, Inc., USA

SOURCE: PCT Int. Appl., 89 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Enalish

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT	PATENT NO.					KIND DATE			APPLICATION NO.					DATE			
WO 9522331																		
			A1 19950824			WO 1995-US1436						19950203 <						
	W:	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	JP,	KE,	KG,	
		KP,	KR,	ΚZ,	LK,	LR,	LT,	LV,	MG,	MN,	MW,	MX,	NO,	NZ,	PL,	RO,	RU,	
		SD,	SI,	SK,	ΤJ,	TT,	UA,	UZ,	VN									
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE	
AU 9519110 A 1995090				0904	AU 1995-19110						19950203 <							
	PRIORITY APP	LN.	INFO	. :						US 1	994-	1993	90		A 1	9940:	218	<
										WO 1	995-	US14	36		W 1	9950	203	<
	OTHER COURCE	121.			MADI	TEG	124.	15/17	Ω									

OTHER SOURCE(S): MARPAT 124:15478

Indolocarbazole solns. are disclosed. The invention features a solution comprising: (i) an indolocarbazole; (ii) a selected organic solvent being present in a concentration of between about 1% and about 99% by weight inclusive, (iii) a dispersant being present in a concentration of between about 0.25% and about 10% by weight inclusive; (i.v.) water being present in a concentration of between 0% and about 99% by weight inclusive, and (v) a polyethylene glycol being present in a concentration of between 0% and about 60% by weight inclusive.

25322-68-3

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aqueous indolocarbazole pharmaceutical solns.)

RN 25322-68-3 HCAPLUS

CN Poly(oxy-1,2-ethanediy1), α-hydro-ω-hydroxy- (CA INDEX NAME)

Relative stereochemistry.

(9R, 10S, 12S) - (9CI) (CA INDEX NAME)

- RN 118735-94-7 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (95,10R,12R)- (9C1) (CA INDEX NAME)

# 10/718-077

- RN 156177-77-4 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 167468-02-2 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl10-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, (9S,10S,12R)- (9CI) (CA
  INDEX NAME)

- RN 170719-62-7 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (9a,10a,12a)- (9CI) (CA INDEX NAME)

- RN 170719-64-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-dibromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl-1-oxo-

ester,  $(9\alpha, 10\alpha, 12\alpha)$  - (9CI) (CA INDEX NAME)

Relative stereochemistry.

- IT 156177-64-9P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (aqueous indolocarbazole pharmaceutical solns.)
- RN 156177-64-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- IT 156177-61-6P 156177-65-0P 156177-66-1P 156177-75-2P 156177-76-3P
  - RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (aqueous indolocarbazole pharmaceutical solns.)
- RN 156177-61-6 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (98,108,128)- (9CI) (CA INDEX NAME)

- RN 156177-65-0 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (CA INDEX NAME)

- RN 156177-66-1 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-5-(hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

- RN 156177-75-2 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,

16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-

9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- IT 99533-80-9, K 252a 118736-02-0 121664-90-2 156177-59-2
  - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aqueous indolocarbazole pharmaceutical solns.)
- RN 99533-80-9 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (98,10R,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

- RN 118736-02-0 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10,16-dihydroxy-9-methyl-1-oxo-, methyl ester,  $[9R-(9\alpha,10\beta,12\alpha)]-(9CI)$  (CA INDEX NAME)

Relative stereochemistry.

- RN 121664-90-2 HCAPLUS
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i)[1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

RN 156177-59-2 HCAPLUS

CN 9,12-Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl-, (95,105,12E) - (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 26 OF 27 USPATFULL on STN

ACCESSION NUMBER: 95:103634 USPATFULL Full-text

TITLE: K-252a functional derivatives potentiate neurotrophin-3

for the treatment of neurological disorders
INVENTOR(S): Glicksman, Marcie A., Swarthmore, PA, United States

Rotella, David P., Downington, PA, United States

Neff, Nicola, Rose Valley, PA, United States

Murakata, Chikara, Tokyo, Japan

PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

corporation)

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5468872 19951121 <--

### 10/718-077

US 1993-122893 APPLICATION INFO,: 19930916 (8)

DOCUMENT TYPE: Utility Granted

FILE SEGMENT:

PRIMARY EXAMINER: Haley, Jacqueline

LEGAL REPRESENTATIVE: Burgoon, Jr., Richard P.Fish & Richardson

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 9 Drawing Figure(s); 7 Drawing Page(s)

LINE COUNT: 984

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Functional K-252a derivatives are used to enhance trk phosphorylation and to AB

potentiate the activity of neurotrophin-3 (NT-3). These compounds can be used to treat neurological disorders, alone or in combination with NT-3.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TT 124911-38-2 124911-39-3 124911-40-6

(preparation of K-252a derivs. which enhance neurotrophin-induced activity)

RN 124911-38-2 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

3-(ethylthio)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 124911-39-3 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fq:3',2',1'-k1]pyrrolo[3,4-

il[1,6]benzodiazocine-10-carboxvlic acid,

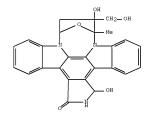
2,3,9,10,11,12-hexahydro-3,10-dihydroxy-9-methyl-1-oxo-, methyl ester

(9CI) (CA INDEX NAME)

### 10/718-077

RN 124911-40-6 USPATFULL

CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-3,10-dihydroxy-10-(hydroxymethyl)-9-methyl- (9CI) (CA INDEX NAME)



L17 ANSWER 27 OF 27 USPATFULL on STN

ACCESSION NUMBER: 95:95012 USPATFULL Full-text

TITLE: Selected protein kinase inhibitors for the treatment of

neurological disorders

INVENTOR(S): Lewis, Michael E., West Chester, PA, United States
Kauer, James C., Kennett Square, PA, United States

Neff, Nicola, Wallingford, PA, United States

Roberts-Lewis, Jill, West Chester, PA, United States

Murakata, Chikara, Hachioji, Japan Saito, Hiromitsu, Mishima, Japan

Saito, Hiromitsu, Mishima, Japan Matsuda, Yuzuru, Koganei, Japan

Glicksman, Marcie A., Swarthmore, PA, United States
PATENT ASSIGNEE(S): Cephalon, Inc., West Chester, PA, United States (U.S.

corporation)

Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S.

corporation)

# 10/718,077 KIND

DATE

PATENT INFORMATION:	US 5461146	19951024	<	
APPLICATION INFO.:	US 1993-96561	19930722	(8)	
RELATED APPLN. INFO.:	Continuation-in-part of	Ser. No.	US 1992-920102,	filed
on 24 Jul 1992, now abandoned				

on 24 Jul 1992, now abandoned

FILE SEGMENT: Granted

PRIMARY EXAMINER: Datlow, Philip I. LEGAL REPRESENTATIVE: Fish & Richardson

NUMBER OF CLAIMS: 4

EXEMPLARY CLAIM: 1,2,3,4

NUMBER OF DRAWINGS: 20 Drawing Figure(s); 14 Drawing Page(s)

NUMBER

LINE COUNT: 1425

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention features novel derivatives of K-252a, as well as novel bis-Nsubstituted derivatives of staurosporine. Also, a method for treating diseased neuronal cells involving the administration of either the novel staurosporine derivatives or specified functional derivatives of K-252a.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99533-80-9, k-252a 111358-89-4 118735-80-1
118735-94-7 121664-75-3 121664-76-4
121664-89-9 121665-11-0 156177-773-6
156177-75-2 156177-76-3 156177-77-4
156177-79-6 156256-82-5 156256-84-7
156256-86-9 167371-04-2 167371-05-3
167371-06-4 167371-07-5 167371-08-6
173662-32-3

(preparation of staurosporine derivs. as protein kinase inhibitors for treatment of neurol. disorders)

RN 99533-80-9 USPATFULL

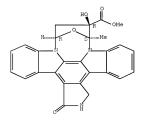
CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester,

(9S, 10R, 12R) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



- RN 111358-88-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

## 10/718,077

i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 118735-80-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9,16-dimethyl-1-oxo-, methyl ester,
  (9s.10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 118735-94-7 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl
  ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121664-75-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,

16-[[(ethylamino)carbonyl]amino]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 121664-76-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[[(phenylamino)carbonyl]amino]-, methyl ester, (9S,10R,12R)- (9CI) (CA

[[(phenylamino)carbonyl]amino]-, methyl ester, (98,10R,12R)- (9C1) (CA INDEX NAME)

- RN 121664-89-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1oxo-, methyl ester, (9\$,10R,12R)- (9CI) (CA INDEX NAME)

- RN 121665-11-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(ethoxymethyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-73-0 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16[(phenylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-75-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[[(ethylamino)carbonyl]oxy]methyl]-2,3,9,10,11,12-hexahydro-10hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-76-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-16-[(methoxycarbonyl)amino]-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156177-77-4 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dibromo-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156177-79-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[(dimethylamino)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-82-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 10-[(acetyloxy)methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-, (9S,10S,12R)- (9CI) (CA INDEX NAME)

- RN 156256-84-7 USPATFULL
- CN 9,12-Epoxy-lH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 16-bromo-2,3,9,10,11,12-hexahydro-10-hydroxy10-(hydroxymethyl)-9-methyl-, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 156256-86-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-(dimethylamino)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-,
  methyl ester, (95,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-04-2 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyridinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-05-3 USPATFULL
- CN 9,12=Epoxy-IH-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  16-[[(4,5-dihydro-IH-imidazol-2-yl)hydrazono]methyl]-2,3,9,10,11,12hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI)
  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

- RN 167371-06-4 USPATFULL
- CN 9,12=Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,0,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylthio)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 167371-07-5 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg;3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2pyrimidinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA
  INDEX NAME)

- RN 167371-08-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-16-[(2-pyridinylsulfinyl)methyl]-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

- RN 173662-32-3 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocin-1-one, 5,16-dichloro-2,3,9,10,11,12-hexahydro-10hydroxy-10-(hydroxymethyl)-9-methyl-,

 $[9S-(9\alpha,10\beta,12\alpha)]-(9CI)$  (CA INDEX NAME)

TT 156177-61-6

(preparation of staurosporine derivs. as protein kinase inhibitors for treatment of neurol. disorders)

- RN 156177-61-6 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocine-10-carboxylic acid,

16-[(ethylsulfonyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 156177-64-9P 156177-65-0P 156177-66-1P

(preparation of staurosporine derivs. as protein kinase inhibitors for treatment of neurol. disorders)

- RN 156177-64-9 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i1[1,6]benzodiazocine-10-carboxylic acid,

- RN 156177-65-0 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4
  - i][1,6]benzodiazocine-10-carboxylic acid,
    5,16-bis[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-
  - 1-oxo-, methyl ester, (9S, 10R, 12R)- (CA INDEX NAME)

- RN 156177-66-1 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4i][1,6]benzodiazocine-10-carboxylic acid,
  - 16-[(ethylthio)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-5-
  - (hydroxymethyl)-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

TT 121664-90-2

(preparation of staurosporine derivs. as protein kinase inhibitors for treatment of neurol. disorders)

- RN 121664-90-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i| [1,6]benzodiazocine-10-carboxylic acid,

16-[(ethylsulfinyl)methyl]-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 156177-59-2P

(preparation of staurosporine derivs. as protein kinase inhibitors for treatment of neurol. disorders)

- RN 156177-59-2 USPATFULL
- CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-k1]pyrrolo[3,4-

i][1,6]benzodiazocin-1-one, 2,3,9,10,11,12-hexahydro-10-(hydroxymethyl)-10-methoxy-9-methyl-, (9S,10S,12R)- (CA INDEX NAME)

### 10/718-077

### SEARCH HISTORY

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(FILE 'HOME' ENTERED AT 16:22:01 ON 02 DEC 2008)

FILE 'HCAPLUS' ENTERED AT 16:22:31 ON 02 DEC 2008 E DICKASON DAVE/AU

- 11 SEA ABB=ON ("DICKASON D"/AU OR "DICKASON DAVE"/AU OR "DICKASON DAVID A"/AU)
- E MCINTYRE BRADLEY T/AU
- 5 SEA ABB=ON "MCINTYRE BRADLEY T"/AU L2 E PATEL PIYUSH R/AU
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- T. 4 1 SEA ABB=ON L1 AND L2 AND L3 SELECT RN L4 1

FILE 'REGISTRY' ENTERED AT 16:23:43 ON 02 DEC 2008

- 1.5 9 SEA ABB=ON (106392-12-5/BI OR 222414-51-9/BI OR 25322-68-3/BI OR 258342-87-9/BI OR 263554-49-0/BI OR 329684-08-4/BI OR 329684-15-3/BI OR 9002-96-4/BI OR 9004-99-3/BI)
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- FILE 'REGISTRY' ENTERED AT 16:25:39 ON 02 DEC 2008 STRUCTURE 329684-15-3
- 35 SEA SSS SAM L7 L8
- 699 SEA SSS FUL L7 L9
- L10 1 SEA ABB=ON 329684-15-3/RN 660 SEA ABB=ON L9
- FILE 'HCAPLUS' ENTERED AT 16:26:35 ON 02 DEC 2008
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  - E POLYOXYL STEARATE/CN
- L12 1 SEA ABB=ON "POLYOXYL STEARATE"/CN E POLYETHYLENE GLYCOL/CN
- L13 1 SEA ABB=ON "POLYETHYLENE GLYCOL"/CN
- FILE 'HCAPLUS' ENTERED AT 16:27:16 ON 02 DEC 2008
- L14 12 SEA ABB=ON L11 AND (L12 OR ?POLYOXYL?(W)?STEARATE? OR L13 OR ?POLYETHYLENE?(W)?GLYCOL?)
- T-15 5 SEA ABB=ON L14 AND (PRD<19990508 OR PD<19990508)
- FILE 'USPATFULL' ENTERED AT 16:28:16 ON 02 DEC 2008 1.16 24 SEA ABB=ON L14 AND (PRD<19990508 OR PD<19990508)
- FILE 'HCAPLUS, USPATFULL' ENTERED AT 16:28:30 ON 02 DEC 2008 27 DUP REMOV L15 L16 (2 DUPLICATES REMOVED)

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